10567639

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LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	4	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents
NEWS	5	AUG 20	CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS	6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	7	AUG 27	USPATOLD now available on STN
NEWS	8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	10	SEP 13	FORIS renamed to SOFIS
NEWS	11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	12	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS	13	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS	14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	15	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	16	OCT 19	BEILSTEIN updated with new compounds
NEWS	17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	18	NOV 19	WPIX enhanced with XML display format
NEWS	19	NOV 30	ICSD reloaded with enhancements
NEWS	20	DEC 04	LINPADOCDB now available on STN
NEWS	21	DEC 14	BEILSTEIN pricing structure to change
NEWS	22	DEC 17	USPATOLD added to additional database clusters
NEWS	23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	24	DEC 17	DGENE now includes more than 10 million sequences
NEWS	25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	26	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	27	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS	28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	29	JAN 02	STN pricing information for 2008 now available
NEWS	30	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	31	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new

```
                  custom IPC display formats
 NEWS 32  JAN 28  MARPAT searching enhanced
 NEWS 33  JAN 28  USGENE timeliness enhanced
 NEWS 34  JAN 28  TOXCENTER enhanced with reloaded MEDLINE segment
 NEWS 35  JAN 28  MEDLINE and LMEDLINE reloaded with enhancements

 NEWS EXPRESS  19 SEPTEMBER 2007:  CURRENT WINDOWS VERSION IS V8.2,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:55:16 ON 28 JAN 2008

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:55:33 ON 28 JAN 2008
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STRUCTURE FILE UPDATES:   27 JAN 2008  HIGHEST RN 1000849-38-6
DICTIONARY FILE UPDATES:  27 JAN 2008  HIGHEST RN 1000849-38-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.
```

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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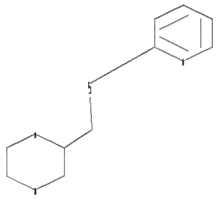
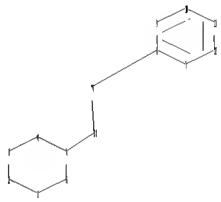
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10567639.str





chain nodes :
13 14
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
5-13 8-14 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-14 13-14
exact bonds :
5-13
normalized bonds :

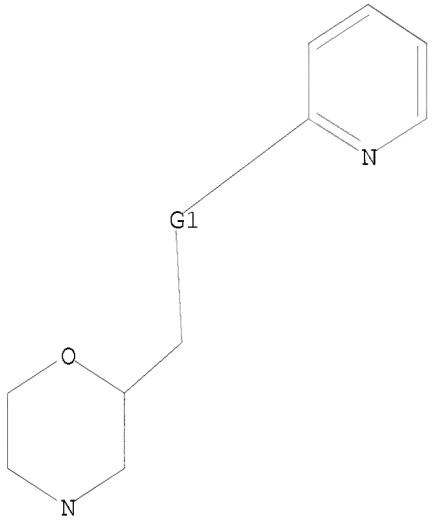
7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 11:55:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13 TO ITERATE

100.0% PROCESSED 13 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 44 TO 476
PROJECTED ANSWERS: 1 TO 80

```
L2            1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 11:56:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      304 TO ITERATE

100.0% PROCESSED      304 ITERATIONS                                54 ANSWERS
SEARCH TIME: 00.00.01

L3           54 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     178.82     179.03

FILE 'HCAPLUS' ENTERED AT 11:56:33 ON 28 JAN 2008
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FILE COVERS 1907 - 28 Jan 2008  VOL 148 ISS 5
FILE LAST UPDATED: 27 Jan 2008  (20080127/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l3
L4            7 L3

=> s l4 and py<=2003
      23975367 PY<=2003
L5            0 L4 AND PY<=2003

=> FIL REGISTRY
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      10.76     189.79

FILE 'REGISTRY' ENTERED AT 11:59:09 ON 28 JAN 2008
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```

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STRUCTURE FILE UPDATES: 27 JAN 2008 HIGHEST RN 1000849-38-6
DICTIONARY FILE UPDATES: 27 JAN 2008 HIGHEST RN 1000849-38-6

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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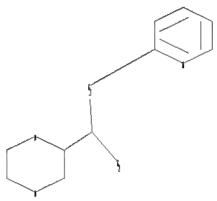
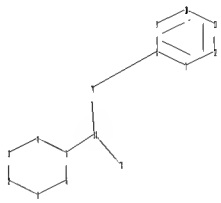
Uploading C:\Program Files\Stnexp\Queries\10567639a.str





chain nodes :
13 14 18
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :

5-13 8-14 13-14 13-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-14 13-14 13-18
exact bonds :
5-13
normalized bonds :
7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

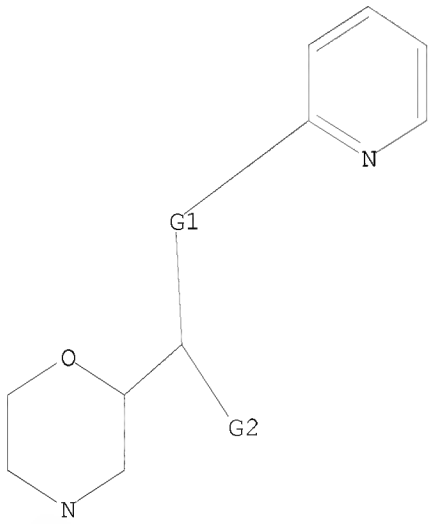
G1:O,S

G2:CH3,Ph,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 18:CLASS

L6 STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6 STR



G1 O,S
G2 Me,Ph,Ak

Structure attributes must be viewed using STN Express query preparation.

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=> s l6
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SAMPLE SCREEN SEARCH COMPLETED -        4 TO ITERATE

100.0% PROCESSED        4 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            4 TO      200
PROJECTED ANSWERS:               1 TO       80

L7            1 SEA SSS SAM L6

=> s l6 sss full
FULL SEARCH INITIATED 11:59:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      113 TO ITERATE

100.0% PROCESSED      113 ITERATIONS                                50 ANSWERS
SEARCH TIME: 00.00.01

L8           50 SEA SSS FUL L6

=> FIL HCAPLUS
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 178.36     368.15

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FILE LAST UPDATED: 27 Jan 2008  (20080127/ED)

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 substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 11:55:16 ON 28 JAN 2008)

     FILE 'REGISTRY' ENTERED AT 11:55:33 ON 28 JAN 2008
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L1              STRUCTURE UPLOADED
L2            1 S L1
L3           54 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 11:56:33 ON 28 JAN 2008
L4            7 S L3
L5            0 S L4 AND PY<=2003

     FILE 'REGISTRY' ENTERED AT 11:59:09 ON 28 JAN 2008
L6              STRUCTURE UPLOADED
L7            1 S L6
L8           50 S L6 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 11:59:49 ON 28 JAN 2008

=> s l8
L9            7 L8

=> s l9 and py<=2003
      23975367 PY<=2003
L10           0 L9 AND PY<=2003

=> d l4 ibib abs hitstr tot

L4   ANSWER 1 OF 7  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2005:1176480  HCAPLUS
DOCUMENT NUMBER:          143:440426
TITLE:                    Substituted morpholine compounds for the treatment of
                          central nervous system disorders, their preparation
                          and pharmaceutical compositions
INVENTOR(S):              Barta, Nancy S.; Glase, Shelly Ann; Gray, David L.;
                          Reichard, Gregory A.; Simons, Lloyd J.; Xu, Weijan
PATENT ASSIGNEE(S):       Warner-Lambert Company LLC, USA
SOURCE:                   U.S. Pat. Appl. Publ., 85 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     US 2005245519         A1    20051103    US 2005-119210          20050429
     AU 2005238296         A1    20051110    AU 2005-238296          20050419
     CA 2564994            A1    20051110    CA 2005-2564994         20050419
     WO 2005105763         A1    20051110    WO 2005-IB1158          20050419
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
             SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
             ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
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	MR, NE, SN, TD, TG				
EP 1745029		A1	20070124	EP 2005-733459	20050419
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1950348		A	20070418	CN 2005-80013776	20050419
BR 2005010453		A	20071030	BR 2005-10453	20050419
JP 2007535530		T	20071206	JP 2007-510153	20050419
NL 1028924		A1	20051101	NL 2005-1028924	20050429
NL 1028924		C2	20060427		
IN 2006DN05782		A	20070803	IN 2006-DN5782	20061005
MX 2006PA12505		A	20061215	MX 2006-PA12505	20061027
KR 2007006881		A	20070111	KR 2006-722767	20061030
NO 2006005456		A	20070104	NO 2006-5456	20061127
PRIORITY APPLN. INFO.:				US 2004-567244P P	20040430
				WO 2005-IB1158 W	20050419

OTHER SOURCE(S): MARPAT 143:440426
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

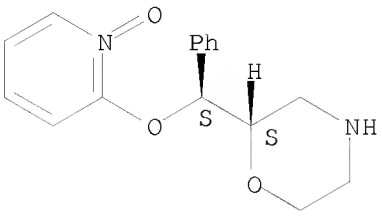
AB The invention relates to compds. of the formula I, which can be used in the treatment of central nervous system disorders. In compds. I, A is O or S; X is C1-10 alkyl, C2-8 alkenyl, aryl, heterocyclyl, C1-6 alkoxy, etc., with each group optionally substituted; and R1 - R5 are independently selected from H, OH, halo, C1-6 alkyl, aryl, C3-8 cycloalkyl, C2-6 alkenyl, C1-6 alkoxy, aryloxy, heterocyclyl, etc.; including pharmaceutically acceptable salts, enantiomers and diastereomers. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns. in the treatment of central nervous system disorders. Ring opening of (R,R)-phenylglycidol with 1-naphthol followed by silylation of the primary alc., mesylation of the secondary alc., and desilylation gave mesylate II, which underwent ring closure to the epoxide, ring opening with ammonium hydroxide and amidation with chloroacetyl chloride, resulting in the formation of amide III. Compound III was converted to the morpholine by intramol. cyclization and Red-Al reduction to give morpholine IV. Several compds., e.g., IV, express high inhibition of human norepinephrine transporter (hNET) and human serotonin transporter (hSERT).

IT 868685-72-7P 868688-15-7P, 2-[(Phenyl)(1-Oxopyridin-2-yloxy)methyl]morpholine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted morpholine compds. for treatment of CNS disorders)

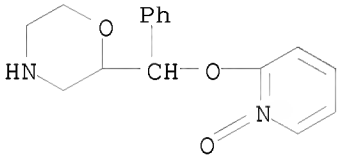
RN 868685-72-7 HCAPLUS

CN Morpholine, 2-[(S)-[(1-oxido-2-pyridinyl)oxy]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 868688-15-7 HCAPLUS
CN Morpholine, 2-[[(1-oxido-2-pyridinyl)oxy]phenylmethyl]- (CA INDEX NAME)



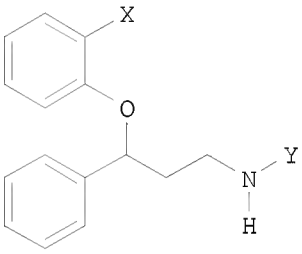
L4 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:588645 HCAPLUS
DOCUMENT NUMBER: 143:115550
TITLE: Preparation of heterocyclic compounds as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to a general medical condition
INVENTOR(S): Allen, Albert John; Hemrick-Luecke, Susan; Sumner, Calvin Russell; Wallace, Owen Brendan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060949	A2	20050707	WO 2004-US38221	20041201
WO 2005060949	A3	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2548304	A1	20050707	CA 2004-2548304	20041201

EP 1729754	A2	20061213	EP 2004-811076		20041201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 1889940	A	20070103	CN 2004-80036841		20041201
JP 2007513945	T	20070531	JP 2006-543830		20041201
US 2007015786	A1	20070118	US 2006-581015		20060530
PRIORITY APPLN. INFO.:			US 2003-529428P	P	20031212
			WO 2004-US38221	W	20041201

OTHER SOURCE(S): MARPAT 143:115550

GI



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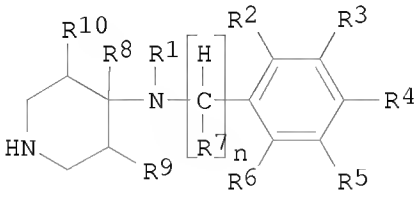


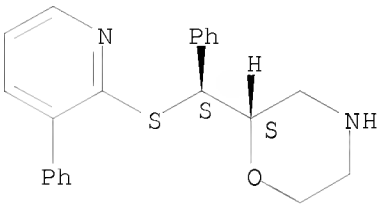
II

AB The invention relates to a method of preventing or treating hot flashes, vasomotor symptoms, impulse control disorders or personality change due to a general medical condition, comprising administering to a patient in need thereof a therapeutically effective amount of a selective norepinephrine reuptake inhibitor selected from atomoxetine, reboxetine, I [X = alkylthio; Y = alkyl], II [n = 1-3; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2-R4 = H, alkyl, alkoxy, etc.; R5-R6 = H, alkyl, alkoxy, halo; R7-R8 = H, alkyl; R9-R10 = H, halo, OH, CN, alkyl, alkoxy], etc. Over 200 title compds. such as I, II and other heterocyclic compds. disclosed, were prepared E.g., a 2-step synthesis of N-(2-methylpropyl)-N-[(2-fluorophenyl)methyl]piperidin-4-amine fumarate, starting from tert-Bu 4-(2-methylpropylamino)piperidine-1-carboxylate and 2-fluorobenzaldehyde, was given. The preferred exemplified title compds. exhibit a Ki value less than 1 μM, more preferably less than 500 nM at the norepinephrine transporter as determined using the scintillation proximity assay.

IT 847687-28-9P 847687-29-0P 847687-33-6P
847687-34-7P 847687-35-8P 847687-36-9P
847687-39-2P 847687-40-5P 847687-43-8P
847687-46-1P 847687-47-2P 847687-50-7P
847687-51-8P 847687-53-0P 847687-54-1P
847687-56-3P 847687-57-4P 847687-59-6P
847687-60-9P 847687-63-2P 847687-64-3P
847687-66-5P 847687-67-6P 847687-69-8P
847687-70-1P 847687-75-6P 847687-76-7P
847687-77-8P 854140-35-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)

RN 847687-28-9 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

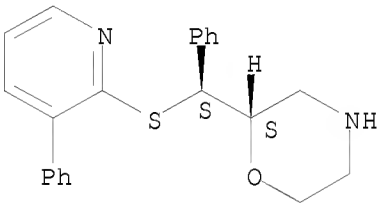


RN 847687-29-0 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-28-9
CMF C22 H22 N2 O S

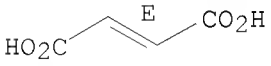
Absolute stereochemistry.



CM 2

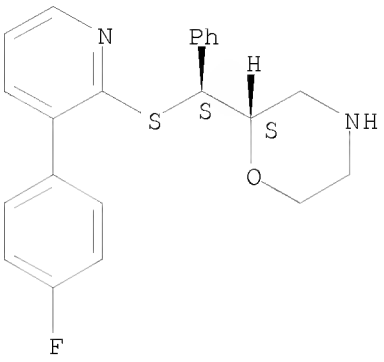
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-33-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

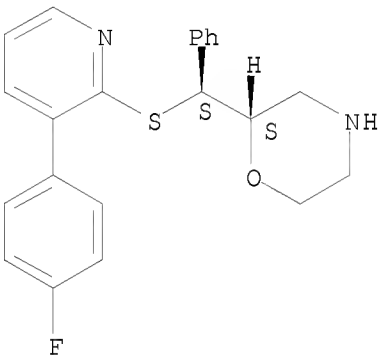


RN 847687-34-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-33-6
CMF C22 H21 F N2 O S

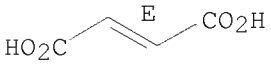
Absolute stereochemistry.



CM 2

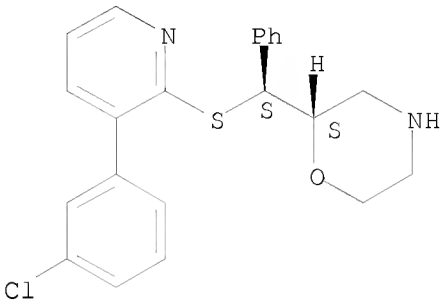
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-35-8 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

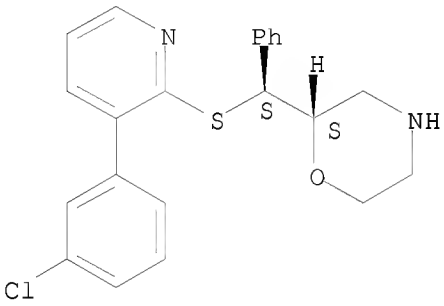


RN 847687-36-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-35-8
CMF C22 H21 Cl N2 O S

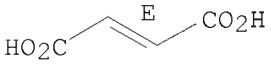
Absolute stereochemistry.



CM 2

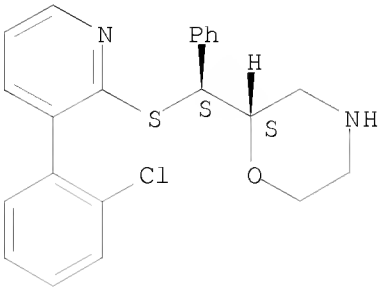
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-39-2 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

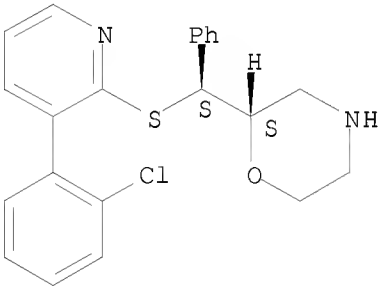


RN 847687-40-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-39-2
CMF C22 H21 Cl N2 O S

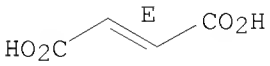
Absolute stereochemistry.



CM 2

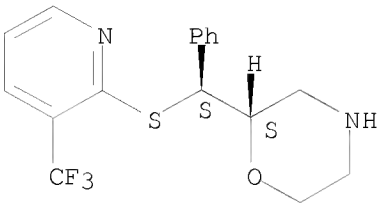
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



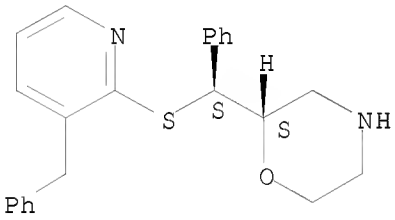
RN 847687-43-8 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-46-1 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

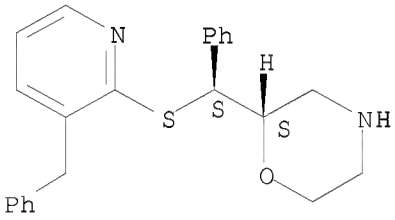


RN 847687-47-2 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-46-1
CMF C23 H24 N2 O S

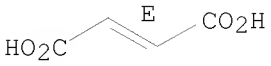
Absolute stereochemistry.



CM 2

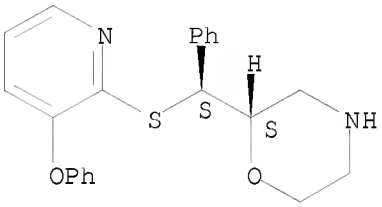
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-50-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

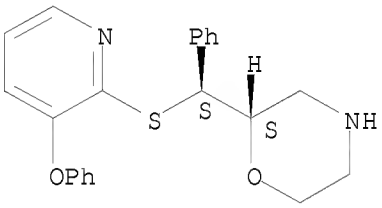


RN 847687-51-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-50-7
CMF C22 H22 N2 O2 S

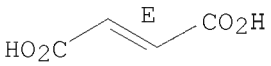
Absolute stereochemistry.



CM 2

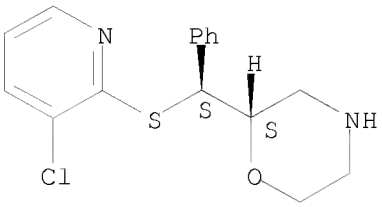
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-53-0 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

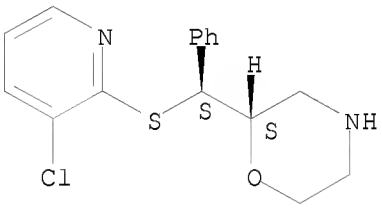


RN 847687-54-1 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-53-0
CMF C16 H17 Cl N2 O S

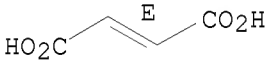
Absolute stereochemistry.



CM 2

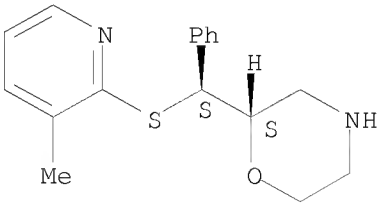
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-56-3 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

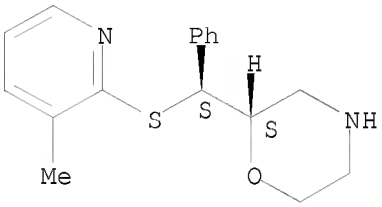


RN 847687-57-4 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-56-3
CMF C17 H20 N2 O S

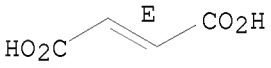
Absolute stereochemistry.



CM 2

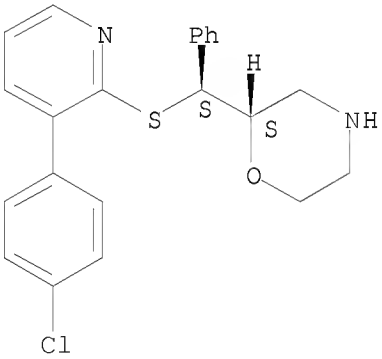
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-59-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

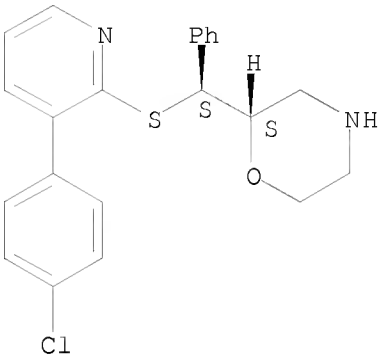


RN 847687-60-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-59-6
CMF C22 H21 Cl N2 O S

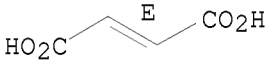
Absolute stereochemistry.



CM 2

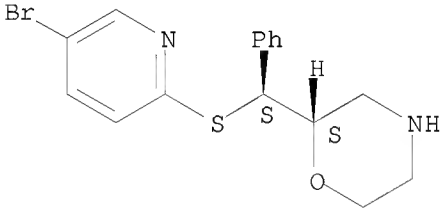
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-63-2 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



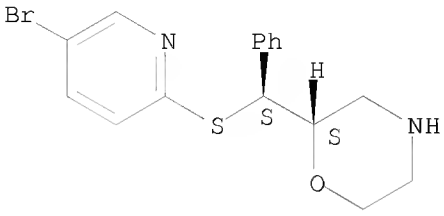
RN 847687-64-3 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-63-2

CMF C16 H17 Br N2 O S

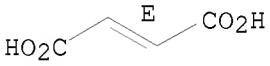
Absolute stereochemistry.



CM 2

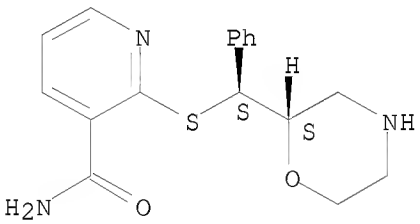
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-66-5 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

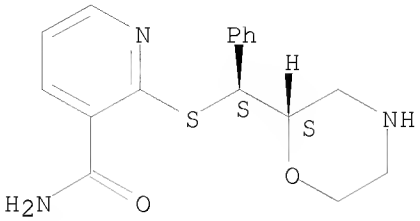


RN 847687-67-6 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-66-5
CMF C17 H19 N3 O2 S

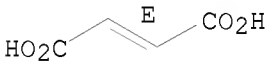
Absolute stereochemistry.



CM 2

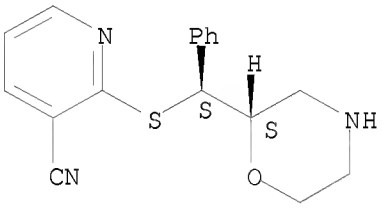
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-69-8 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

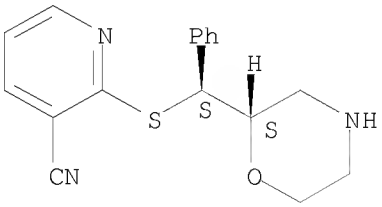


RN 847687-70-1 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-69-8
CMF C17 H17 N3 O S

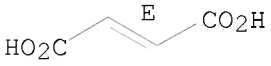
Absolute stereochemistry.



CM 2

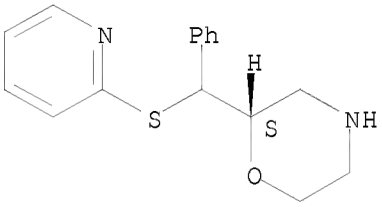
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



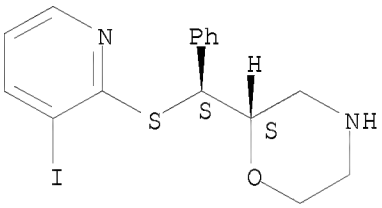
RN 847687-75-6 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-76-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

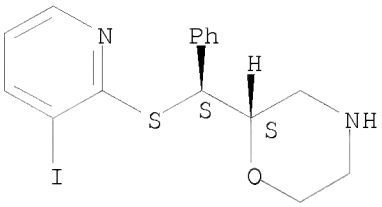


RN 847687-77-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-76-7
CMF C16 H17 I N2 O S

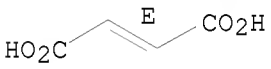
Absolute stereochemistry.



CM 2

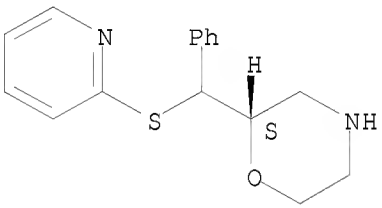
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 854140-35-5 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, monohydrochloride, (2S)-
(9CI) (CA INDEX NAME)

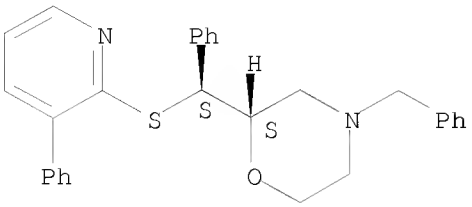
Absolute stereochemistry.



● HCl

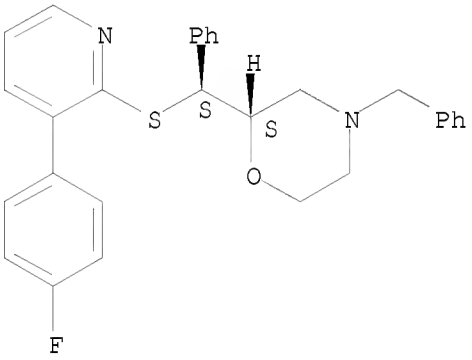
IT 847687-30-3P 847687-32-5P 847687-38-1P
847687-42-7P 847687-44-9P 847687-45-0P
847687-49-4P 847687-52-9P 847687-55-2P
847687-58-5P 847687-62-1P 847687-65-4P
847687-68-7P 847687-71-2P 847687-74-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)
RN 847687-30-3 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



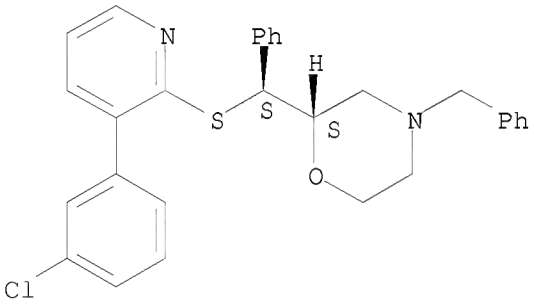
RN 847687-32-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



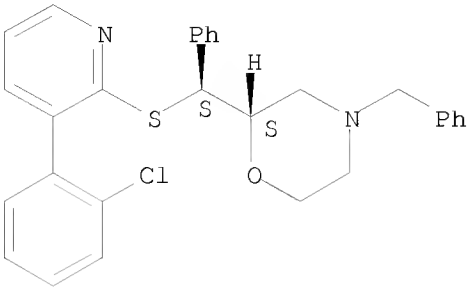
RN 847687-38-1 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



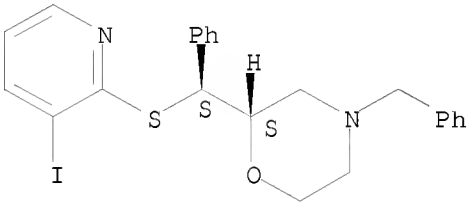
RN 847687-42-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



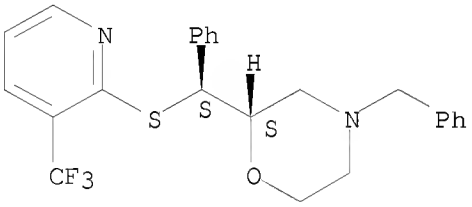
RN 847687-44-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



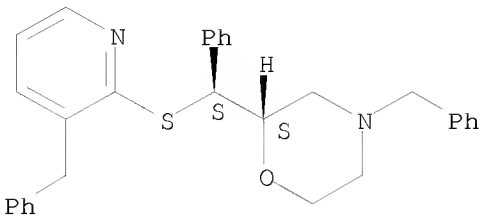
RN 847687-45-0 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



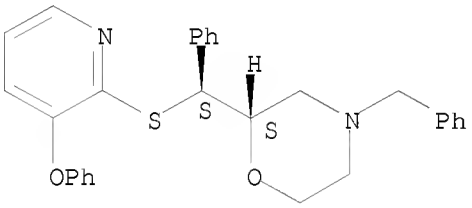
RN 847687-49-4 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



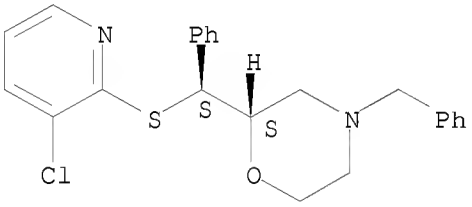
RN 847687-52-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



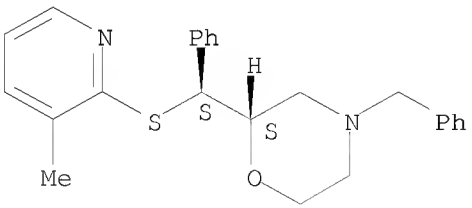
RN 847687-55-2 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-58-5 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

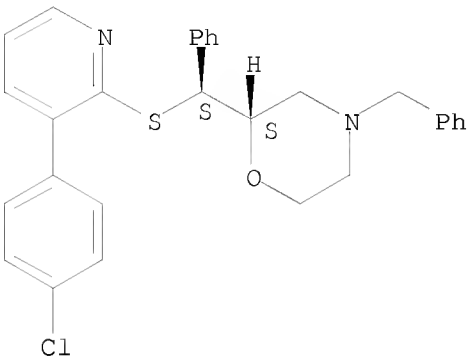
Absolute stereochemistry.



RN 847687-62-1 HCAPLUS

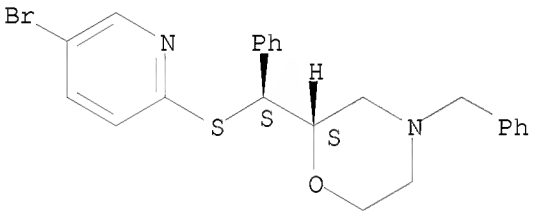
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



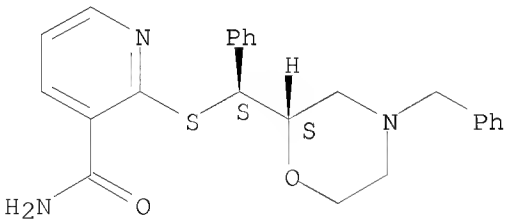
RN 847687-65-4 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



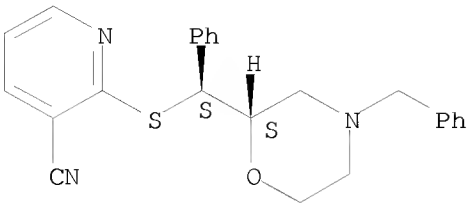
RN 847687-68-7 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



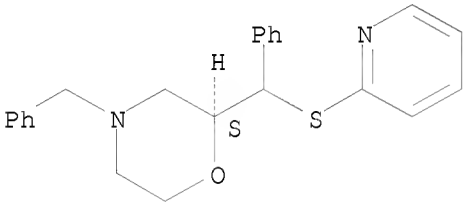
RN 847687-71-2 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-74-5 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[phenyl(2-pyridinylthio)methyl]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:523264 HCAPLUS
DOCUMENT NUMBER: 143:59831
TITLE: A preparation of aminopiperidine derivatives, useful for the treatment of cognitive failure
INVENTOR(S): Hatfield, Alan Kramer; Bymaster, Franklin Porter; McKinzie, David Lee; Tucker, Tina Marie; Keaffaber, Kirk Matthew; Sumner, Calvin Russell; Trzepacz, Paula Terese; Allen, Albert John; Kelsey, Douglas Kenneth; Michelson, David; Gehlert, Donald Richard; Yang, Charles Renkin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053663	A2	20050616	WO 2004-US37195	20041124
WO 2005053663	A3	20050811		

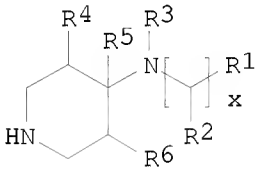
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

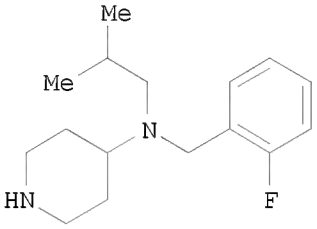
PRIORITY APPLN. INFO.: US 2003-524450P P 20031124
US 2003-524781P P 20031125

OTHER SOURCE(S): MARPAT 143:59831

GI



I



II

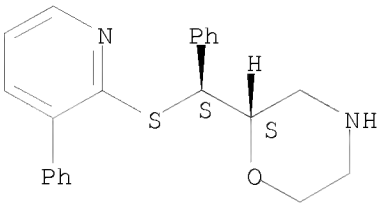
AB The invention relates to a preparation of aminopiperidine derivs. of formula I [wherein: x is 1-3; R1 is (un)substituted phenyl; R2 and R5 are independently H or alkyl; R3 is (cyclo)alkyl, alkenyl, or cycloalkylalkyl, etc.; R4 is H, halogen, or OH, etc.; R6 is H, halogen, CN, or alkyl, etc.], useful for the treatment of cognitive failure. Selective norepinephrine reuptake inhibitors were used to treat cognitive failure. For instance, fumarate salt of aminopiperidine derivative II was prepared via imination of 2-fluorobenzaldehyde by tert-Bu 4-[(2-methylpropyl)amino]piperidine-1-carboxylate, reduction of the obtained imine, and subsequent fumaric acid salt formation. The preferred invention compds. exhibit Ki values less than 500 nM at the norepinephrine transporter.

IT 847687-28-9P 847687-29-0P 847687-33-6P
847687-34-7P 847687-35-8P 847687-36-9P
847687-39-2P 847687-40-5P 847687-43-8P
847687-46-1P 847687-47-2P 847687-50-7P
847687-51-8P 847687-54-1P 847687-56-3P
847687-57-4P 847687-59-6P 847687-60-9P
847687-63-2P 847687-64-3P 847687-66-5P
847687-67-6P 847687-69-8P 847687-70-1P
847687-75-6P 847687-76-7P 847687-77-8P
854140-35-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopiperidine derivs. useful for the treatment of cognitive failure)

RN 847687-28-9 HCAPLUS

CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

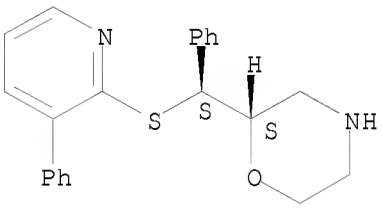


RN 847687-29-0 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-28-9
CMF C22 H22 N2 O S

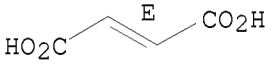
Absolute stereochemistry.



CM 2

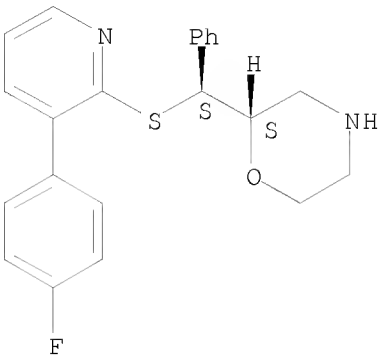
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-33-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

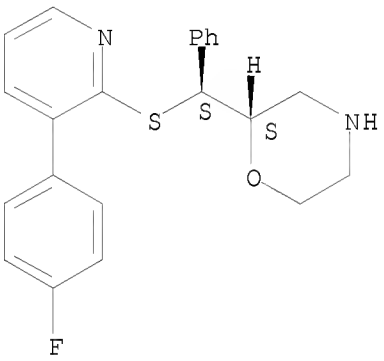


RN 847687-34-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-33-6
CMF C22 H21 F N2 O S

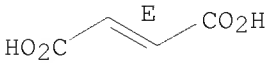
Absolute stereochemistry.



CM 2

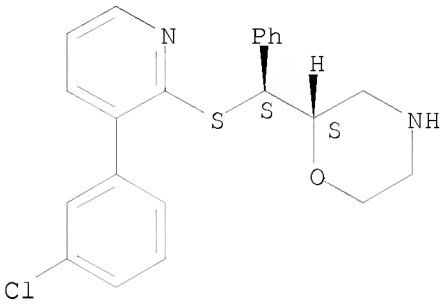
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-35-8 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

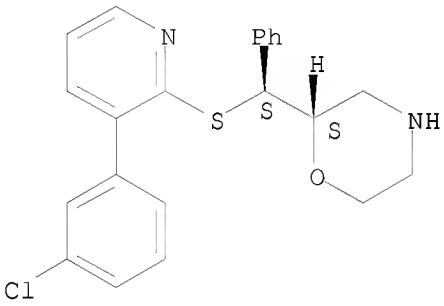


RN 847687-36-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-35-8
CMF C22 H21 Cl N2 O S

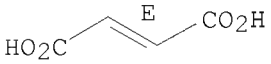
Absolute stereochemistry.



CM 2

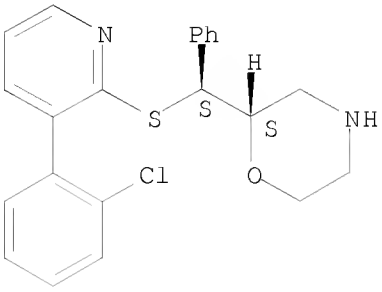
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-39-2 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

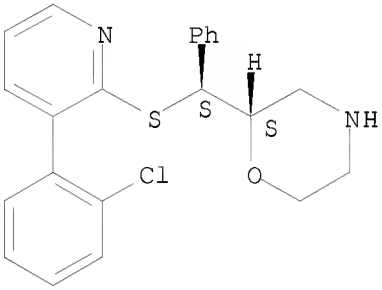


RN 847687-40-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-39-2
CMF C22 H21 Cl N2 O S

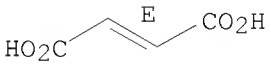
Absolute stereochemistry.



CM 2

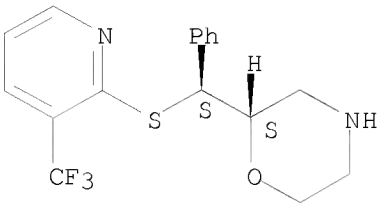
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



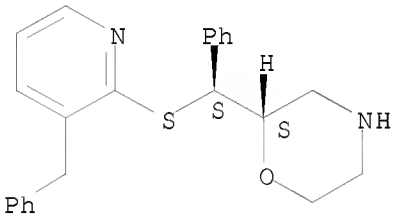
RN 847687-43-8 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-46-1 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

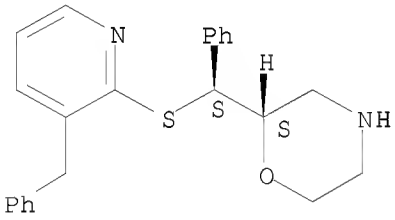


RN 847687-47-2 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-46-1
CMF C23 H24 N2 O S

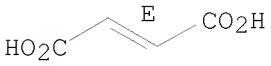
Absolute stereochemistry.



CM 2

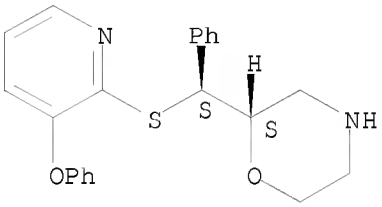
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-50-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

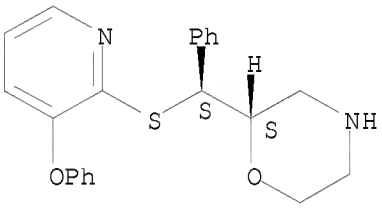


RN 847687-51-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-50-7
CMF C22 H22 N2 O2 S

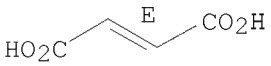
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

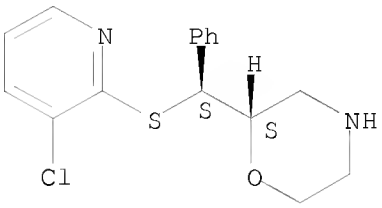


RN 847687-54-1 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-53-0
CMF C16 H17 Cl N2 O S

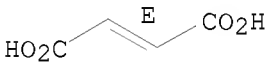
Absolute stereochemistry.



CM 2

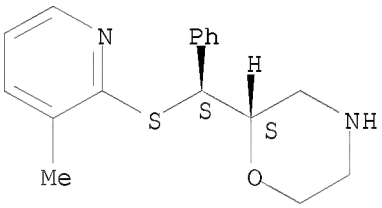
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-56-3 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

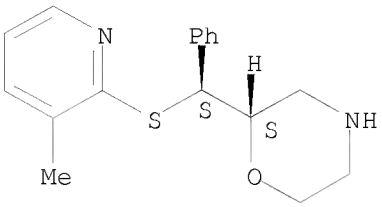


RN 847687-57-4 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-56-3
CMF C17 H20 N2 O S

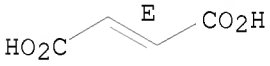
Absolute stereochemistry.



CM 2

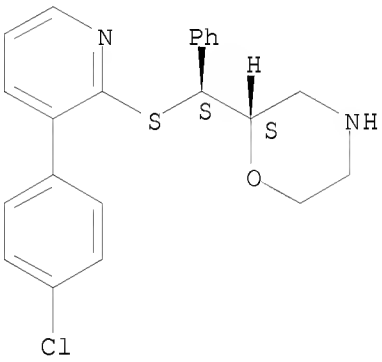
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-59-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

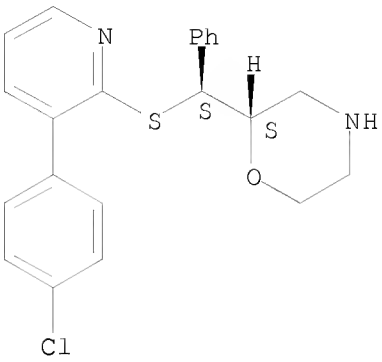


RN 847687-60-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-59-6
CMF C22 H21 Cl N2 O S

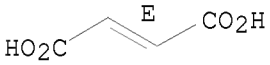
Absolute stereochemistry.



CM 2

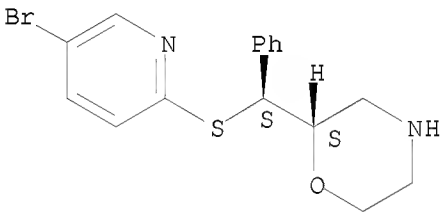
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-63-2 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

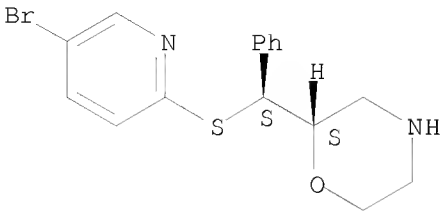


RN 847687-64-3 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-63-2
CMF C16 H17 Br N2 O S

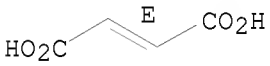
Absolute stereochemistry.



CM 2

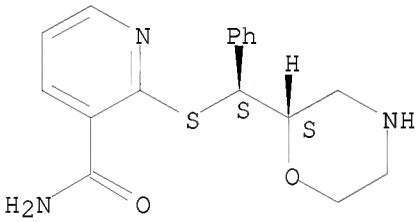
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-66-5 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

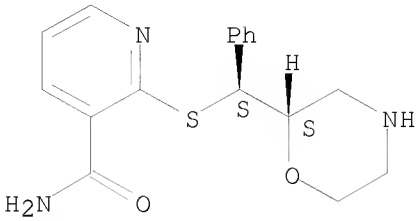


RN 847687-67-6 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-66-5
CMF C17 H19 N3 O2 S

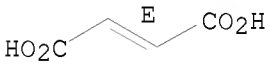
Absolute stereochemistry.



CM 2

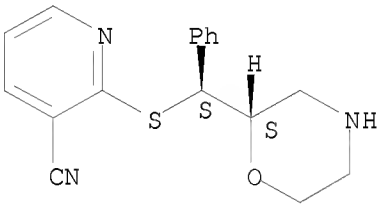
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-69-8 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

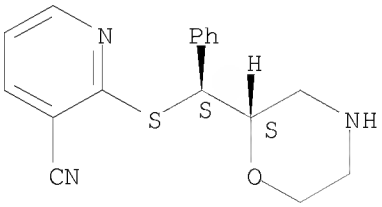


RN 847687-70-1 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-69-8
CMF C17 H17 N3 O S

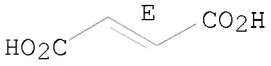
Absolute stereochemistry.



CM 2

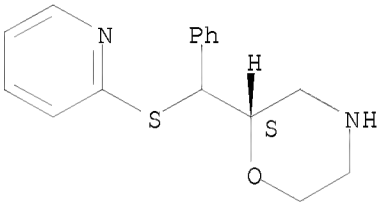
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



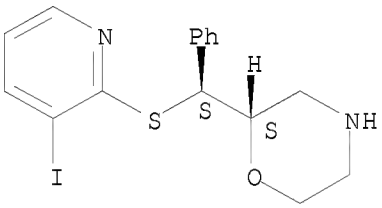
RN 847687-75-6 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-76-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

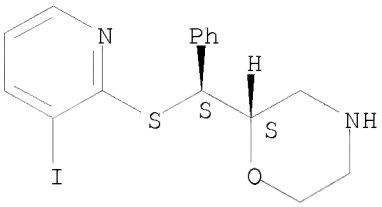


RN 847687-77-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-76-7
CMF C16 H17 I N2 O S

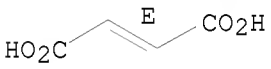
Absolute stereochemistry.



CM 2

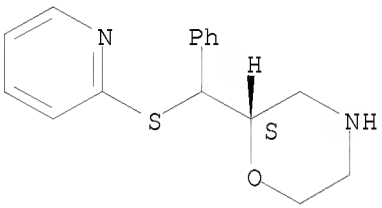
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 854140-35-5 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, monohydrochloride, (2S)-
(9CI) (CA INDEX NAME)

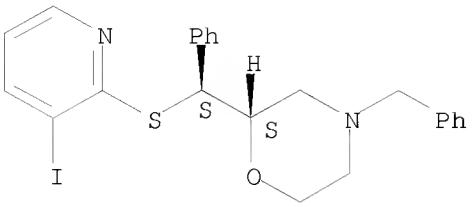
Absolute stereochemistry.



● HCl

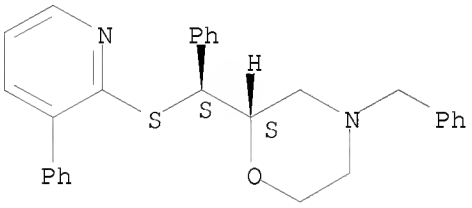
IT 847687-44-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminopiperidine derivs. useful for the treatment of cognitive failure)
RN 847687-44-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-4-
(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



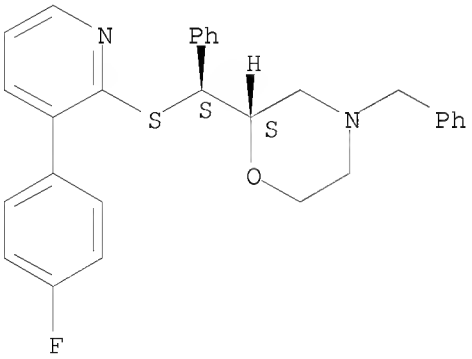
IT 847687-30-3P 847687-32-5P 847687-38-1P
847687-42-7P 847687-45-0P 847687-49-4P
847687-52-9P 847687-53-0P 847687-55-2P
847687-58-5P 847687-62-1P 847687-65-4P
847687-68-7P 847687-71-2P 847687-74-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminopiperidine derivs. useful for the treatment of cognitive failure)
RN 847687-30-3 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-32-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

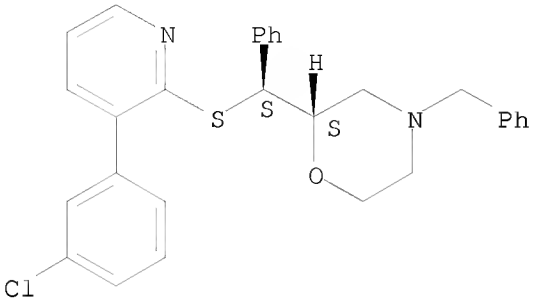
Absolute stereochemistry.



RN 847687-38-1 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-

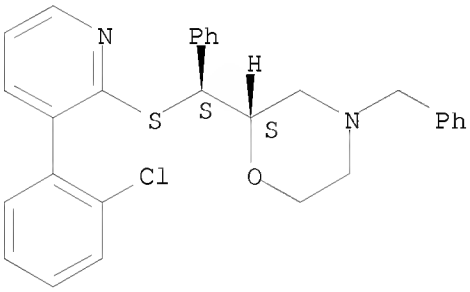
(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



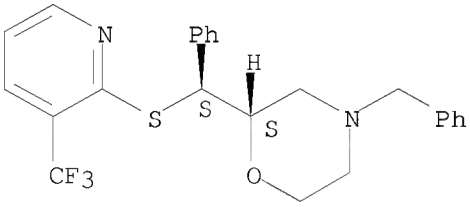
RN 847687-42-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



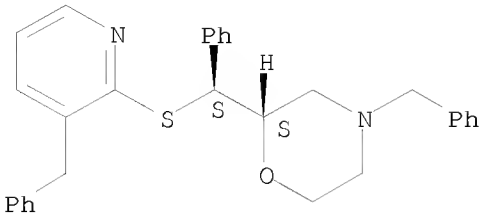
RN 847687-45-0 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



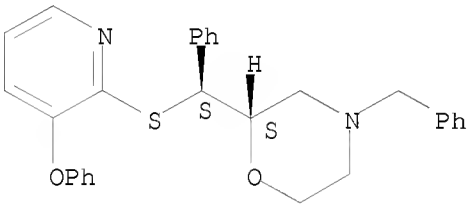
RN 847687-49-4 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



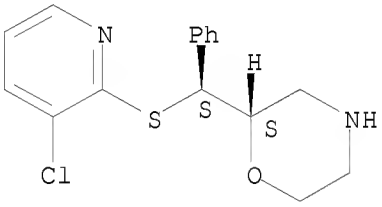
RN 847687-52-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



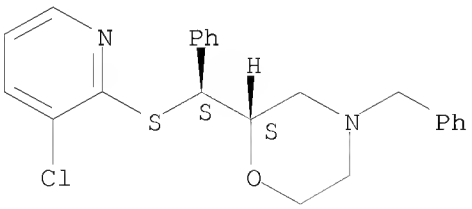
RN 847687-53-0 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-55-2 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

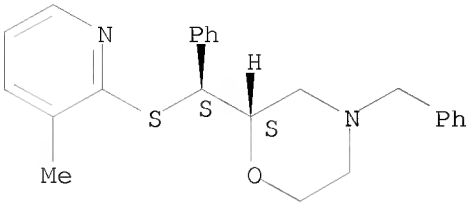
Absolute stereochemistry.



RN 847687-58-5 HCAPLUS

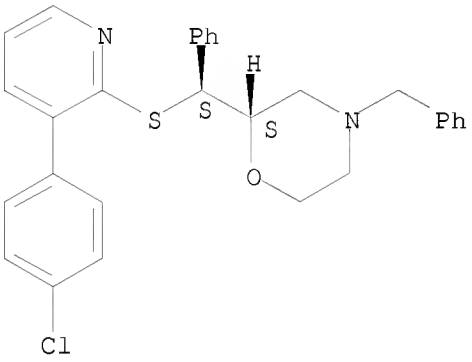
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



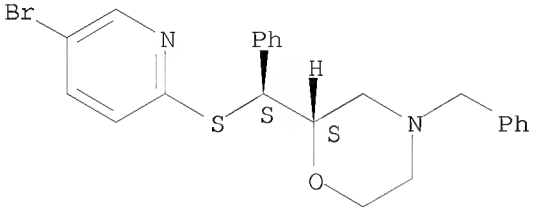
RN 847687-62-1 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



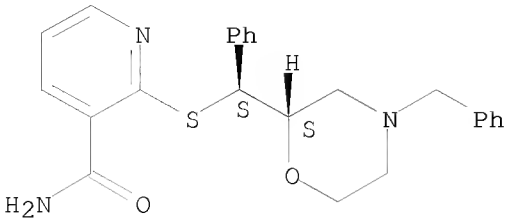
RN 847687-65-4 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



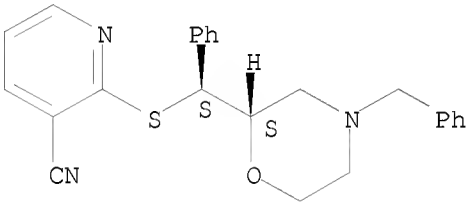
RN 847687-68-7 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



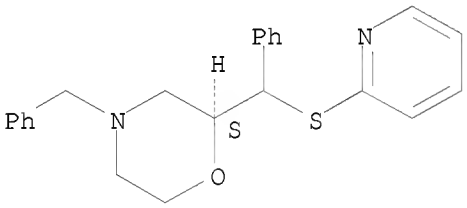
RN 847687-71-2 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-74-5 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[phenyl(2-pyridinylthio)methyl]-, (2S)-(CA INDEX NAME)

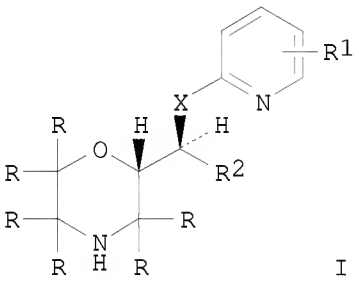
Absolute stereochemistry.



L4 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:238982 HCAPLUS
DOCUMENT NUMBER: 142:316847
TITLE: Preparation of homochiral pyridinylmorpholines as selective norepinephrine reuptake inhibitors
INVENTOR(S): Clark, Barry Peter; Gallagher, Peter Thaddeus
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005023802 A1 20050317 WO 2004-US22313 20040809
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1658287 A1 20060524 EP 2004-778025 20040809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
US 2006258654 A1 20061116 US 2006-567639 20060208
PRIORITY APPLN. INFO.: GB 2003-19693 A 20030822
US 2003-514748P P 20031027
WO 2004-US22313 W 20040809
OTHER SOURCE(S): CASREACT 142:316847; MARPAT 142:316847
GI



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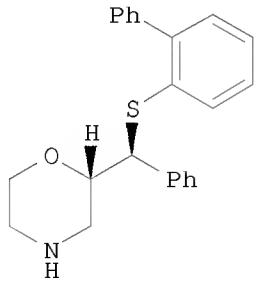


II

AB Title compds. I [X = S, O; R = H, alkyl; R1 = H, alkyl, alkoxy, halo, etc.; R2 = alkyl, Ph, etc.] are prepared For instance, (S)-(4-benzylmorpholin-2-yl)phenylmethanone (large scale preparation given) is selectively reduced to the (S,S) alc. and converted to the corresponding thiol in 3 addnl. steps. The thiol is reacted with 2-fluoro-3-phenylpyridine and debenzylated to give II. All example compds. exhibit a Ki < 500 nM at the norepinephrine transporter and all examples of I inhibit selectively the norepinephrine transporter relative to serotonin and dopamine by at least a factor of 5. I are useful for the treatment of, e.g., an addictive disorder, withdrawal syndrome, etc.

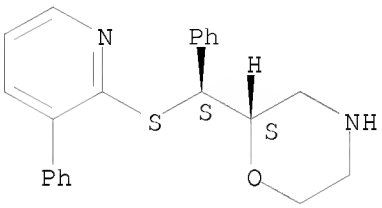
IT 847687-29-0P 847687-33-6P 847687-35-8P
847687-43-8P 847687-46-1P 847687-50-7P
847687-53-0P 847687-56-3P 847687-59-6P
847687-63-2P 847687-66-5P 847687-69-8P
847687-75-6P 847687-76-7P 848137-69-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of homochiral pyridinylmorpholines as selective norepinephrine

reuptake inhibitors)
RN 847687-29-0 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-28-9
CMF C22 H22 N2 O S

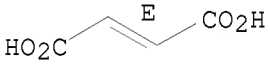
Absolute stereochemistry.



CM 2

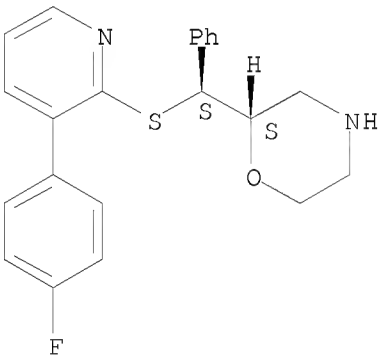
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



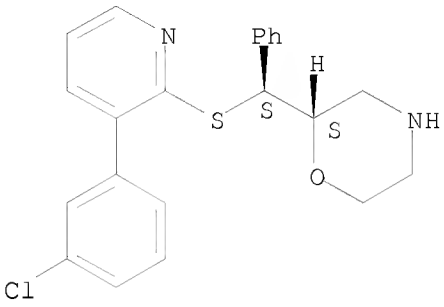
RN 847687-33-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



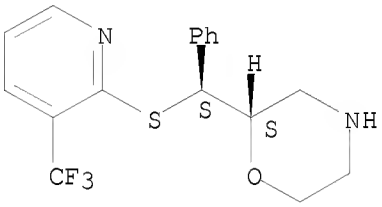
RN 847687-35-8 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



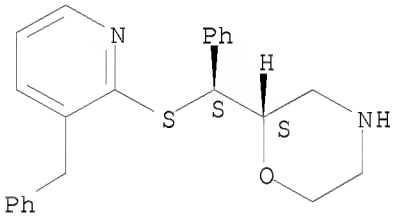
RN 847687-43-8 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



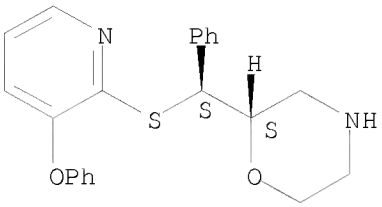
RN 847687-46-1 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



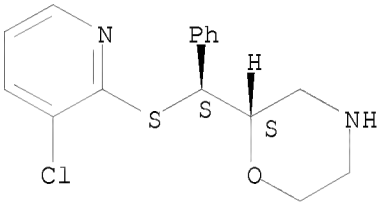
RN 847687-50-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



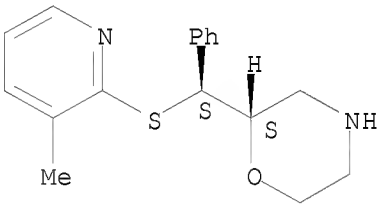
RN 847687-53-0 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



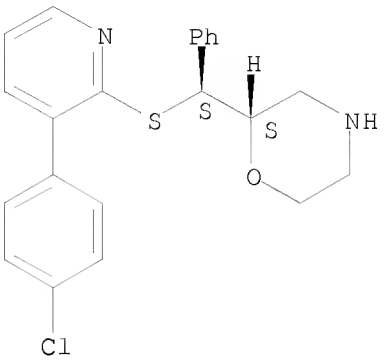
RN 847687-56-3 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



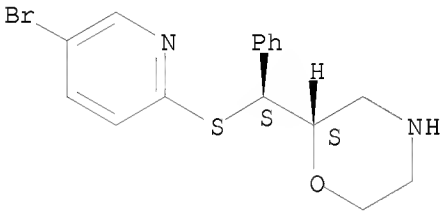
RN 847687-59-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



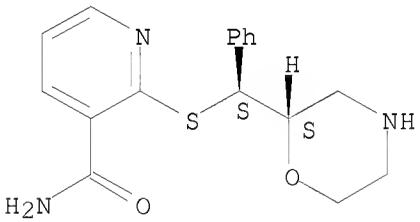
RN 847687-63-2 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



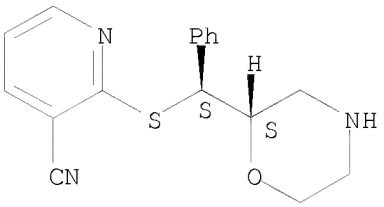
RN 847687-66-5 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



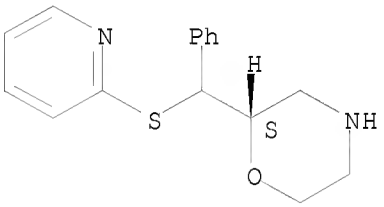
RN 847687-69-8 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



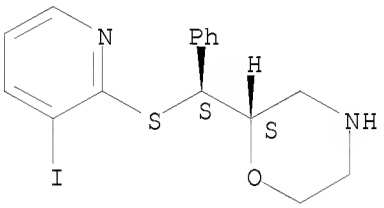
RN 847687-75-6 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



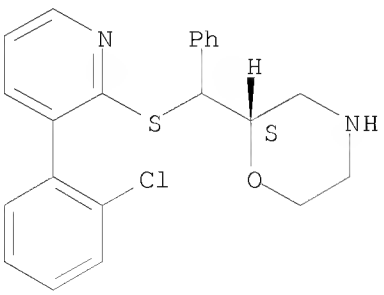
RN 847687-76-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 848137-69-9 HCAPLUS
CN Morpholine, 2-[[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

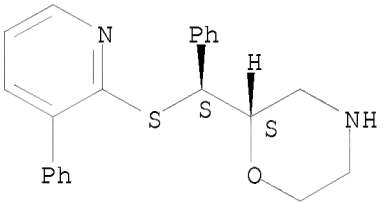
Absolute stereochemistry.



IT 847687-28-9P 847687-34-7P 847687-36-9P
847687-47-2P 847687-51-8P 847687-54-1P
847687-57-4P 847687-60-9P 847687-64-3P
847687-67-6P 847687-70-1P 847687-72-3P
847687-77-8P 848137-70-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of homochiral pyridinylmorpholines as selective norepinephrine reuptake inhibitors)

RN 847687-28-9 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

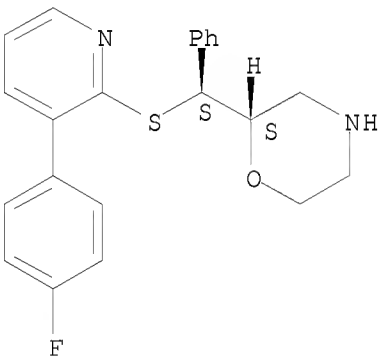


RN 847687-34-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-33-6
CMF C22 H21 F N2 O S

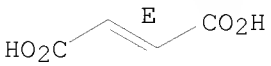
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

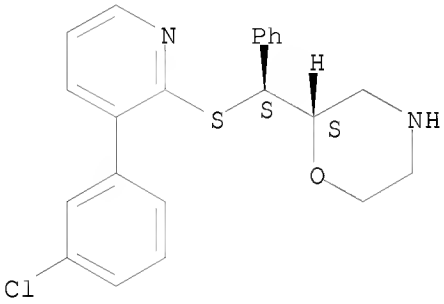


RN 847687-36-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-35-8
CMF C22 H21 Cl N2 O S

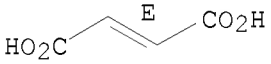
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

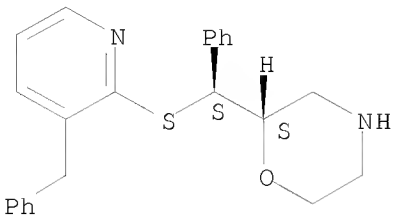


RN 847687-47-2 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-46-1
CMF C23 H24 N2 O S

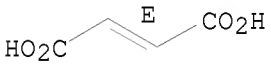
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

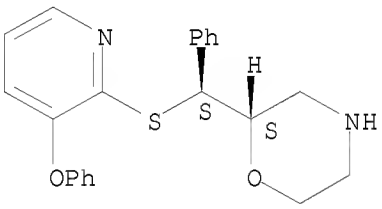


RN 847687-51-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-50-7
CMF C22 H22 N2 O2 S

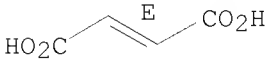
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



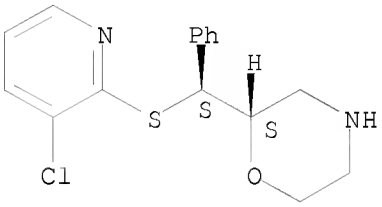
RN 847687-54-1 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)-,

(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-53-0
CMF C16 H17 Cl N2 O S

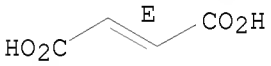
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

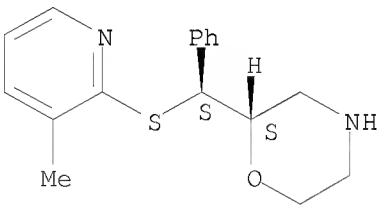


RN 847687-57-4 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-56-3
CMF C17 H20 N2 O S

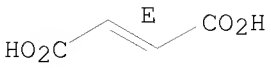
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

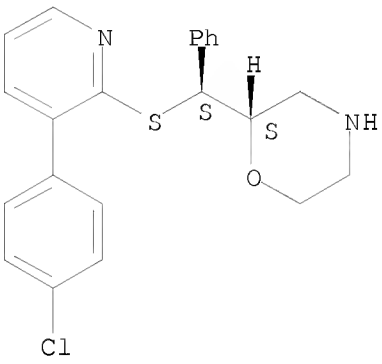


RN 847687-60-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-59-6
CMF C22 H21 Cl N2 O S

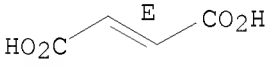
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

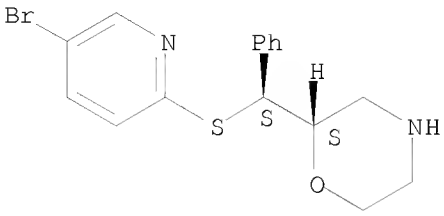


RN 847687-64-3 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-63-2
CMF C16 H17 Br N2 O S

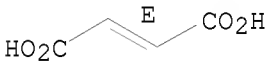
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

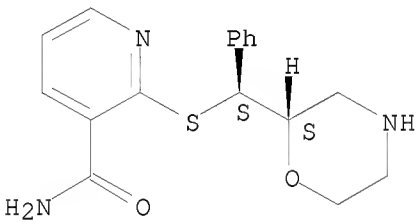


RN 847687-67-6 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-66-5
CMF C17 H19 N3 O2 S

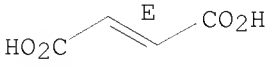
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



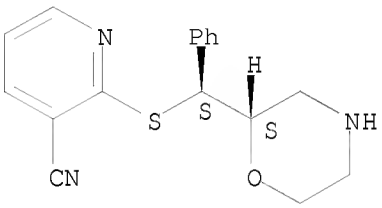
RN 847687-70-1 HCAPLUS

CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-69-8
CMF C17 H17 N3 O S

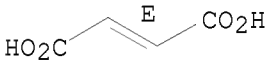
Absolute stereochemistry.



CM 2

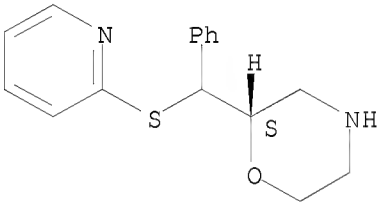
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-72-3 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



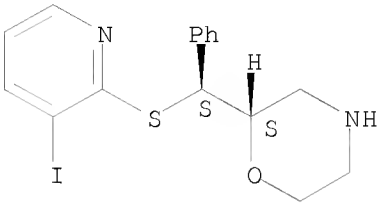
● x HCl

RN 847687-77-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-76-7
CMF C16 H17 I N2 O S

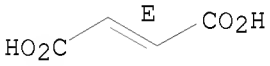
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

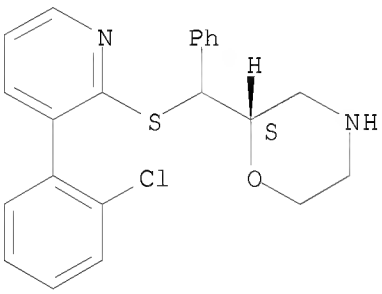


RN 848137-70-2 HCAPLUS
CN Morpholine, 2-[[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 848137-69-9
CMF C22 H21 Cl N2 O S

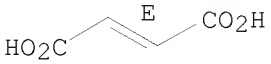
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

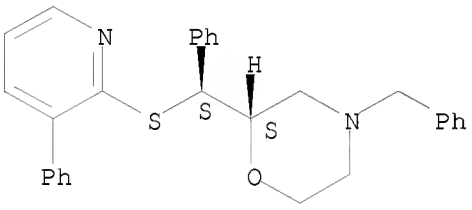
Double bond geometry as shown.



IT 847687-30-3P 847687-32-5P 847687-38-1P
847687-44-9P 847687-45-0P 847687-49-4P
847687-52-9P 847687-55-2P 847687-58-5P
847687-62-1P 847687-65-4P 847687-68-7P
847687-71-2P 847687-74-5P 848137-71-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of homochiral pyridinylmorpholines as selective norepinephrine reuptake inhibitors)

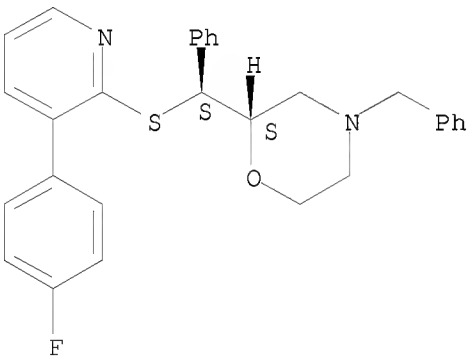
RN 847687-30-3 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



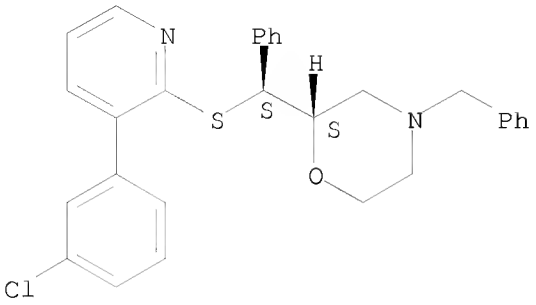
RN 847687-32-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



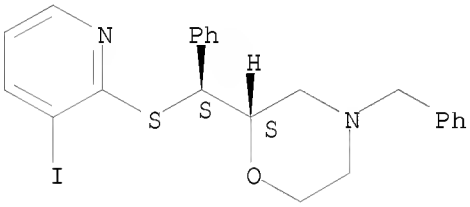
RN 847687-38-1 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



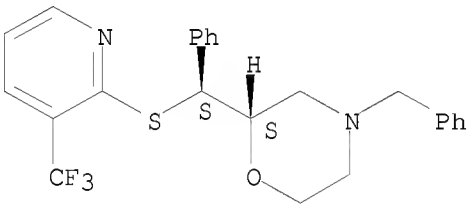
RN 847687-44-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



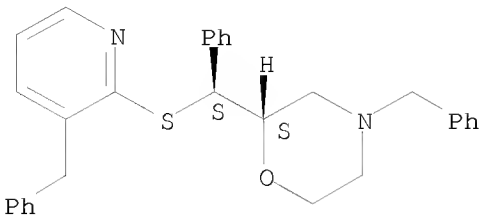
RN 847687-45-0 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



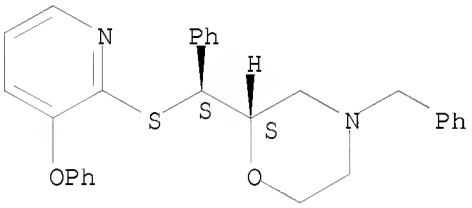
RN 847687-49-4 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



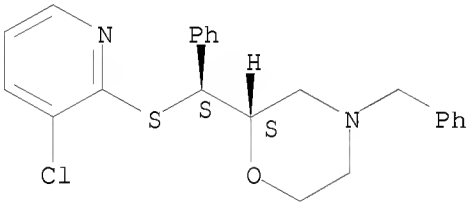
RN 847687-52-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



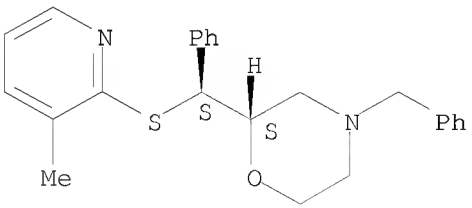
RN 847687-55-2 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-58-5 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

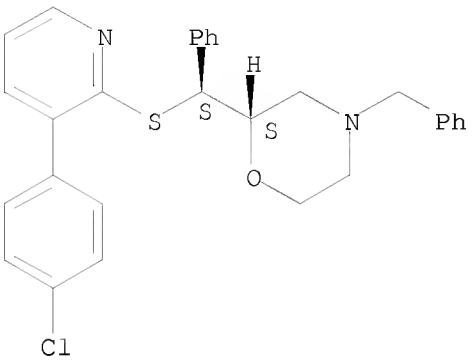
Absolute stereochemistry.



RN 847687-62-1 HCAPLUS

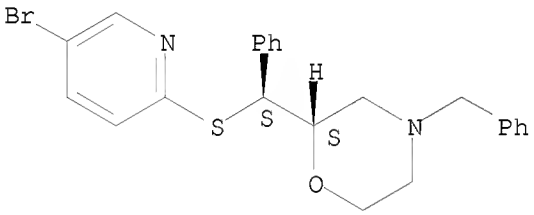
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



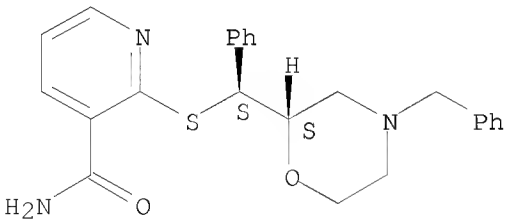
RN 847687-65-4 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



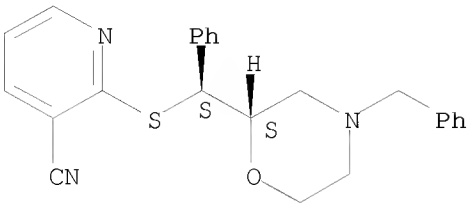
RN 847687-68-7 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



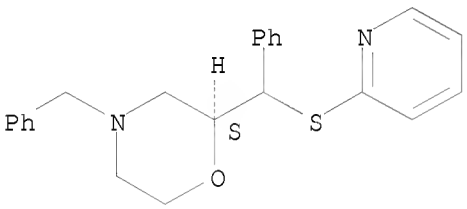
RN 847687-71-2 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



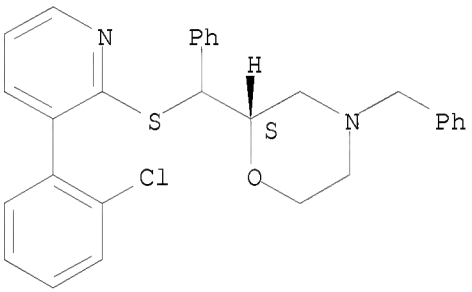
RN 847687-74-5 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[phenyl(2-pyridinylthio)methyl]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry.



RN 848137-71-3 HCAPLUS
CN Morpholine, 2-[[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-
(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:216719 HCAPLUS
DOCUMENT NUMBER: 142:291416
TITLE: Treatment of stuttering and other communication disorders with norepinephrine reuptake inhibitors
INVENTOR(S): Kelsey, Douglas Kenneth
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 299 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005021095	A2	20050310	WO 2004-US25591		20040825
WO 2005021095	A3	20050609			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2532349	A1	20050310	CA 2004-2532349		20040825
EP 1660185	A2	20060531	EP 2004-780429		20040825
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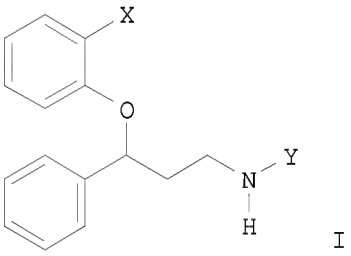
US 2007032554	A1	20070208	US 2006-568269		20060214
PRIORITY APPLN. INFO.:			US 2003-498018P	P	20030827
			WO 2004-US25591	W	20040825

OTHER SOURCE(S): MARPAT 142:291416
GI



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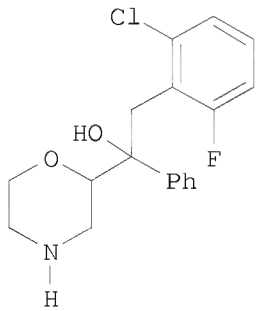


II

AB Provided are methods and medicaments for treating stuttering or another communication disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 847687-29-0P 847687-33-6P 847687-34-7P
847687-36-9P 847687-39-2P 847687-40-5P
847687-43-8P 847687-47-2P 847687-50-7P
847687-51-8P 847687-53-0P 847687-54-1P
847687-57-4P 847687-59-6P 847687-60-9P
847687-63-2P 847687-64-3P 847687-66-5P
847687-67-6P 847687-69-8P 847687-70-1P
847687-72-3P 847687-75-6P 847687-76-7P
847687-77-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

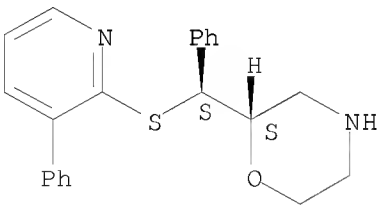
RN 847687-29-0 HCAPLUS

CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-28-9
CMF C22 H22 N2 O S

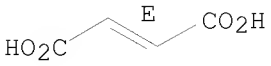
Absolute stereochemistry.



CM 2

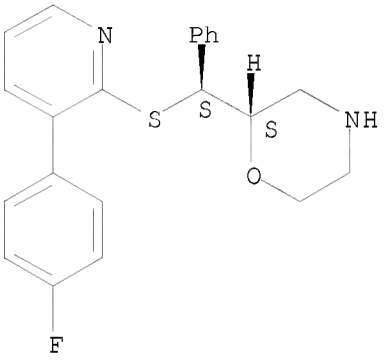
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-33-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

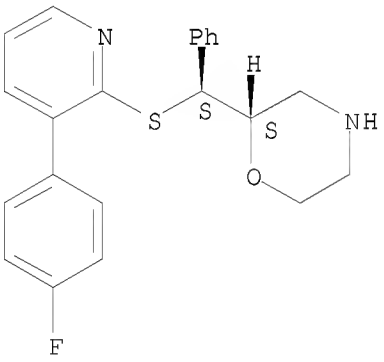


RN 847687-34-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-33-6
CMF C22 H21 F N2 O S

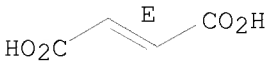
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

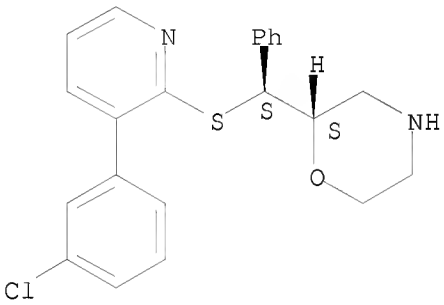


RN 847687-36-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-35-8
CMF C22 H21 Cl N2 O S

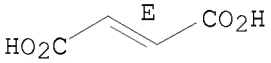
Absolute stereochemistry.



CM 2

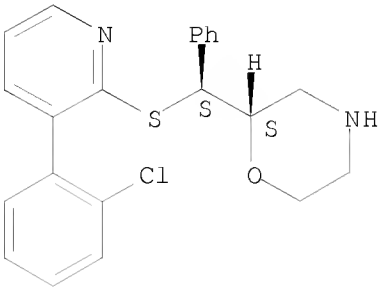
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-39-2 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

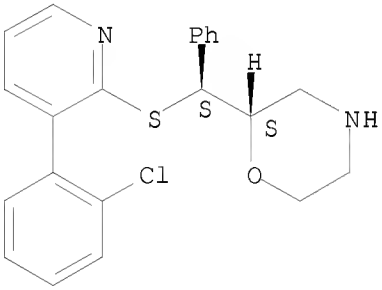


RN 847687-40-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-39-2
CMF C22 H21 Cl N2 O S

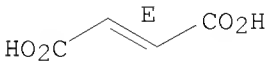
Absolute stereochemistry.



CM 2

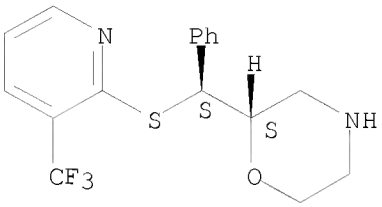
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-43-8 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

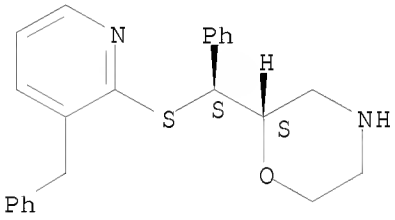


RN 847687-47-2 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-46-1
CMF C23 H24 N2 O S

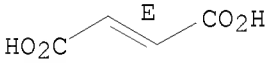
Absolute stereochemistry.



CM 2

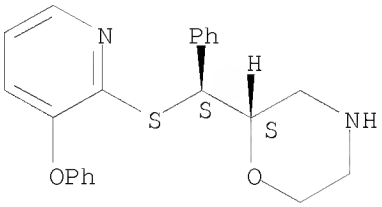
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-50-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

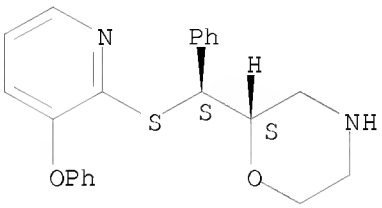


RN 847687-51-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-50-7
CMF C22 H22 N2 O2 S

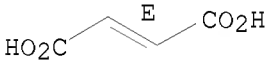
Absolute stereochemistry.



CM 2

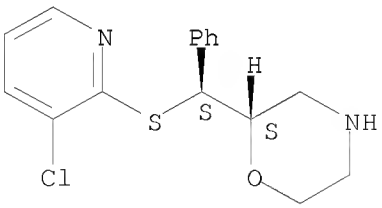
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-53-0 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

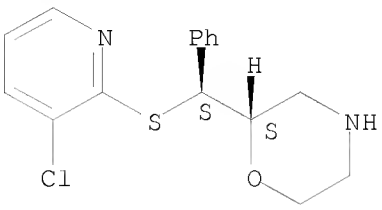


RN 847687-54-1 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-53-0
CMF C16 H17 Cl N2 O S

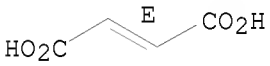
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

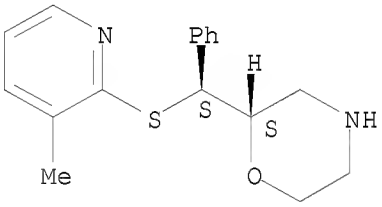


RN 847687-57-4 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-56-3
CMF C17 H20 N2 O S

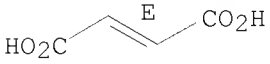
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

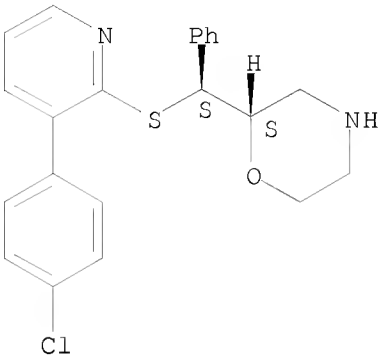
Double bond geometry as shown.



RN 847687-59-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-,

(2S)- (CA INDEX NAME)

Absolute stereochemistry.

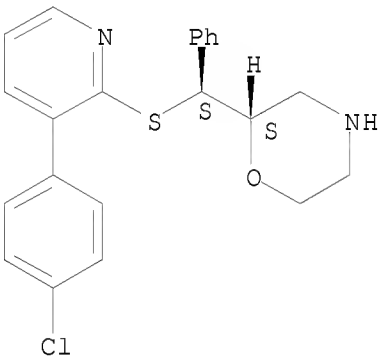


RN 847687-60-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-59-6
CMF C22 H21 Cl N2 O S

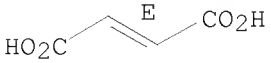
Absolute stereochemistry.



CM 2

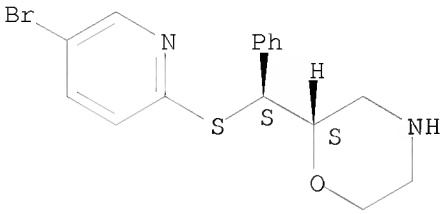
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-63-2 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

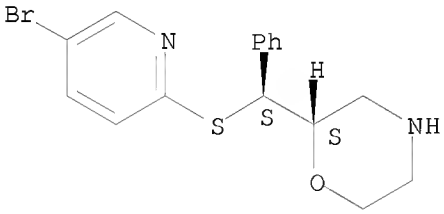


RN 847687-64-3 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-63-2
CMF C16 H17 Br N2 O S

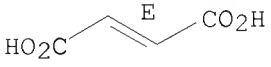
Absolute stereochemistry.



CM 2

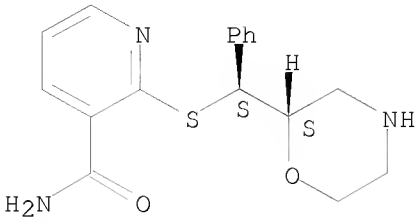
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-66-5 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

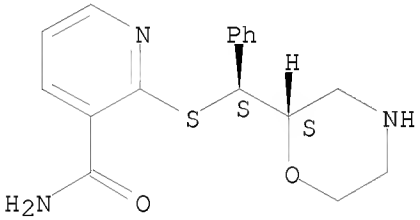


RN 847687-67-6 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-66-5
CMF C17 H19 N3 O2 S

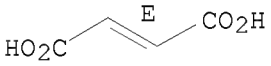
Absolute stereochemistry.



CM 2

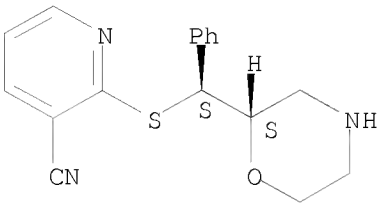
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-69-8 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

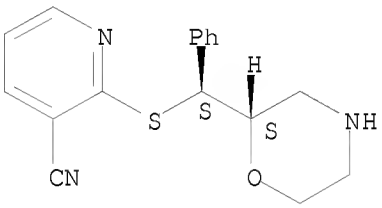


RN 847687-70-1 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-69-8
CMF C17 H17 N3 O S

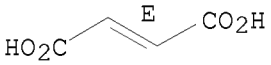
Absolute stereochemistry.



CM 2

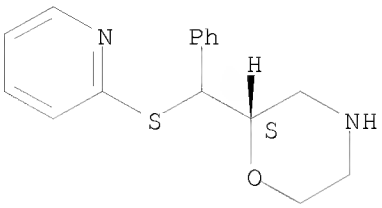
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-72-3 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

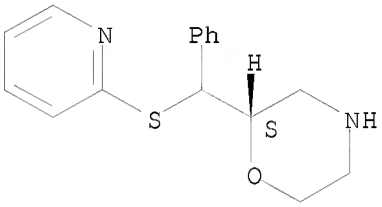
Absolute stereochemistry.



● x HCl

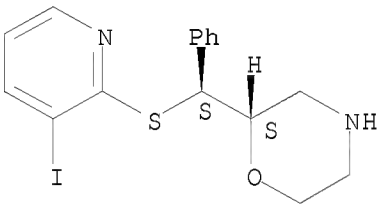
RN 847687-75-6 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-76-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

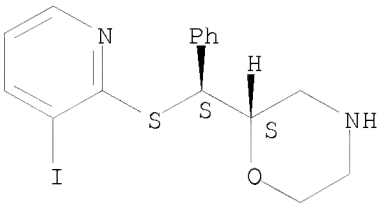


RN 847687-77-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-76-7
CMF C16 H17 I N2 O S

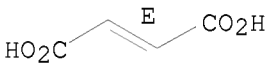
Absolute stereochemistry.



CM 2

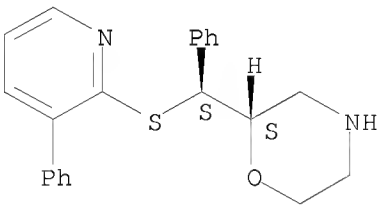
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



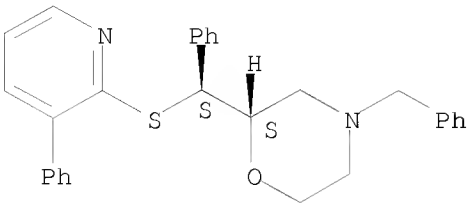
IT 847687-28-9P 847687-30-3P 847687-32-5P
847687-35-8P 847687-38-1P 847687-42-7P
847687-44-9P 847687-45-0P 847687-46-1P
847687-49-4P 847687-52-9P 847687-55-2P
847687-56-3P 847687-58-5P 847687-62-1P
847687-65-4P 847687-68-7P 847687-71-2P
847687-74-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)
RN 847687-28-9 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



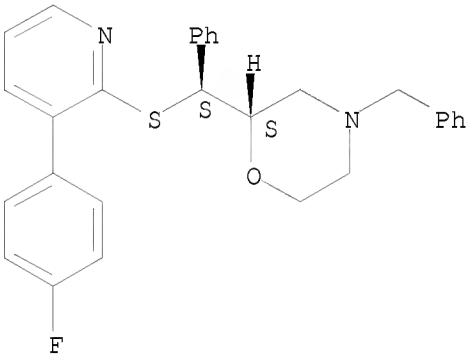
RN 847687-30-3 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



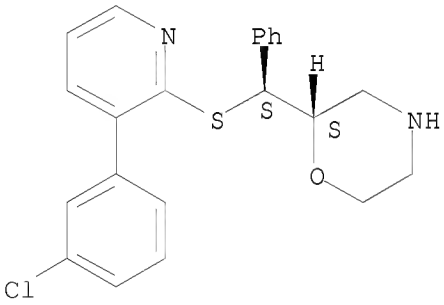
RN 847687-32-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



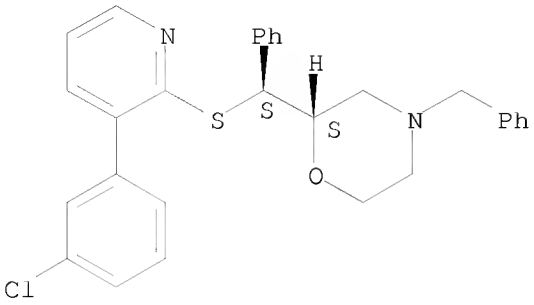
RN 847687-35-8 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



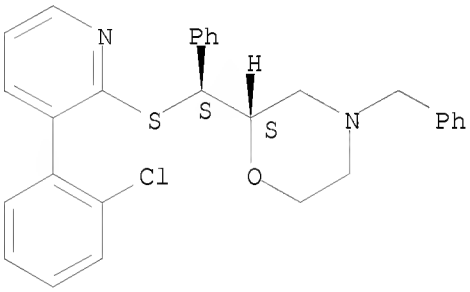
RN 847687-38-1 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



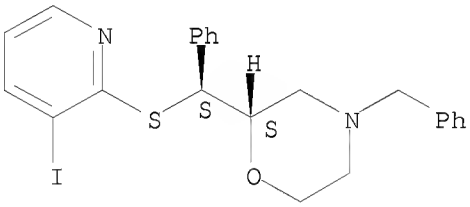
RN 847687-42-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



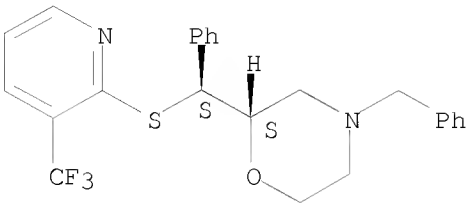
RN 847687-44-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



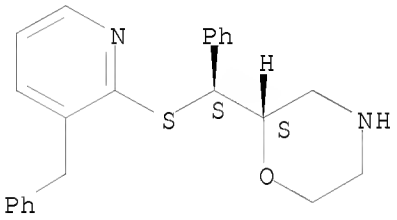
RN 847687-45-0 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



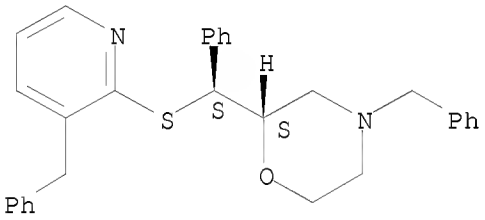
RN 847687-46-1 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



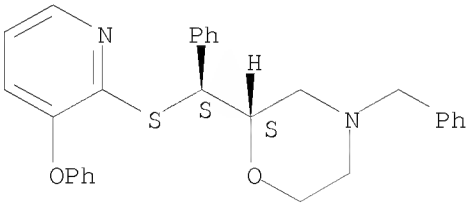
RN 847687-49-4 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



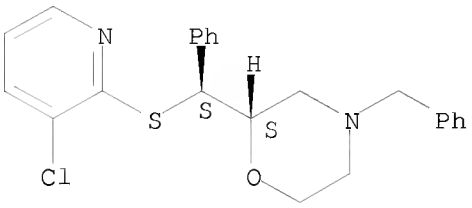
RN 847687-52-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



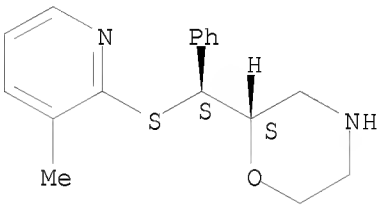
RN 847687-55-2 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



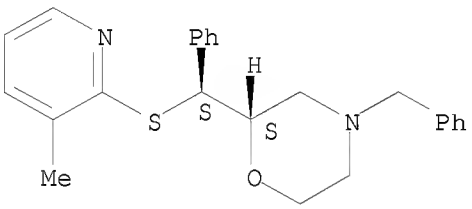
RN 847687-56-3 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



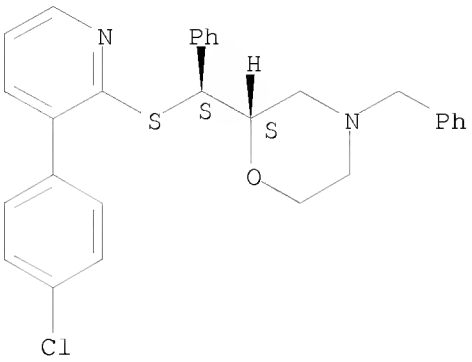
RN 847687-58-5 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



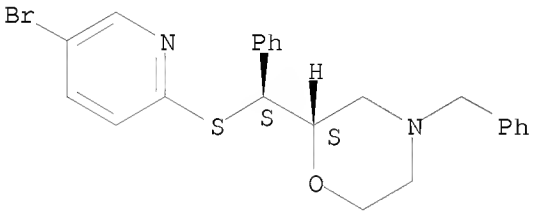
RN 847687-62-1 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



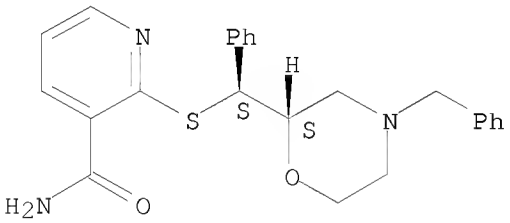
RN 847687-65-4 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



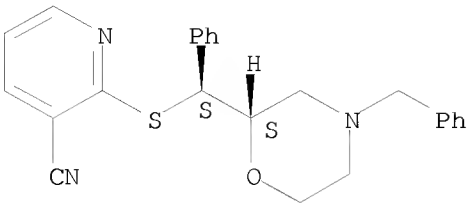
RN 847687-68-7 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



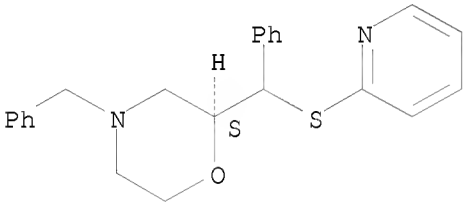
RN 847687-71-2 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-74-5 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[phenyl(2-pyridinylthio)methyl]-, (2S)-
(CA INDEX NAME)

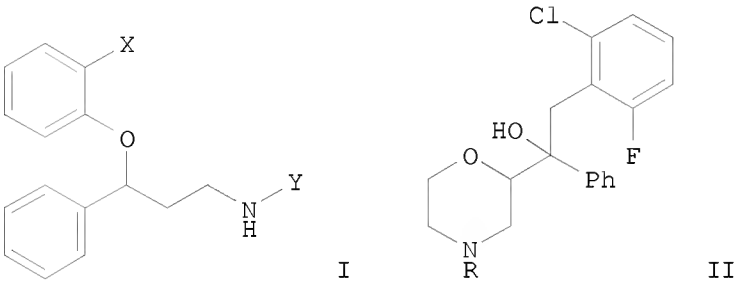
Absolute stereochemistry.



L4 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:216660 HCAPLUS
DOCUMENT NUMBER: 142:291415
TITLE: Treatment of pervasive development disorders employing norepinephrine reuptake inhibitors
INVENTOR(S): Allen, Albert John; Kelsey, Douglas Kenneth
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020976	A2	20050310	WO 2004-US25593	20040825
WO 2005020976	A3	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536161	A1	20050310	CA 2004-2536161	20040825
EP 1660065	A2	20060531	EP 2004-780431	20040825

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
US 2006241188 A1 20061026 US 2006-568466 20060214
PRIORITY APPLN. INFO.: US 2003-498146P P 20030827
WO 2004-US25593 W 20040825
OTHER SOURCE(S): CASREACT 142:291415; MARPAT 142:291415
GI



I II

AB Provided are methods and medicaments for treating a pervasive development disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl (R = H) was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone by 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation of the obtained alc. I (R = Bn). The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 847687-29-0P 847687-33-6P 847687-34-7P
847687-36-9P 847687-39-2P 847687-40-5P
847687-43-8P 847687-47-2P 847687-50-7P
847687-51-8P 847687-53-0P 847687-54-1P
847687-57-4P 847687-59-6P 847687-60-9P
847687-63-2P 847687-64-3P 847687-66-5P
847687-67-6P 847687-69-8P 847687-70-1P
847687-72-3P 847687-75-6P 847687-76-7P
847687-77-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

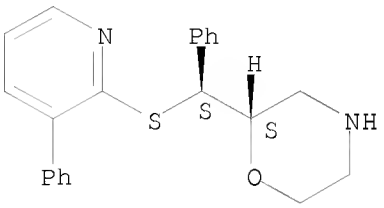
RN 847687-29-0 HCAPLUS

CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-28-9
CMF C22 H22 N2 O S

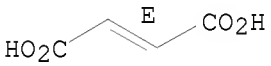
Absolute stereochemistry.



CM 2

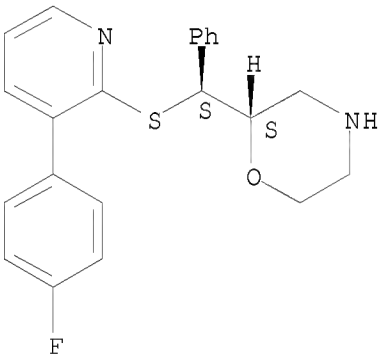
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-33-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



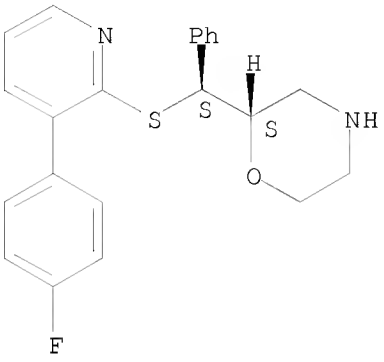
RN 847687-34-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-33-6

CMF C22 H21 F N2 O S

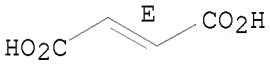
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

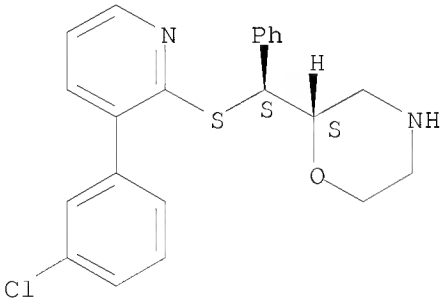


RN 847687-36-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-35-8
CMF C22 H21 Cl N2 O S

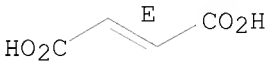
Absolute stereochemistry.



CM 2

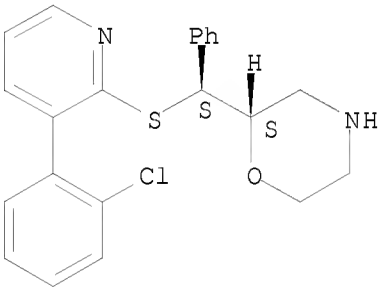
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-39-2 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

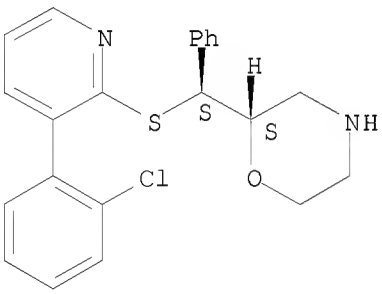


RN 847687-40-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-39-2
CMF C22 H21 Cl N2 O S

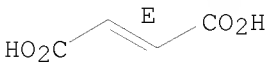
Absolute stereochemistry.



CM 2

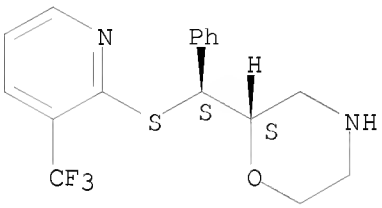
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-43-8 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

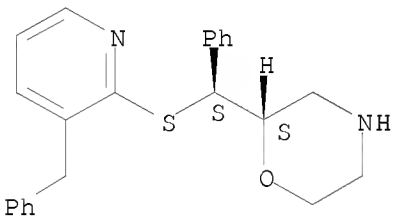


RN 847687-47-2 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-46-1
CMF C23 H24 N2 O S

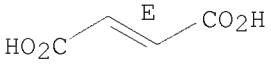
Absolute stereochemistry.



CM 2

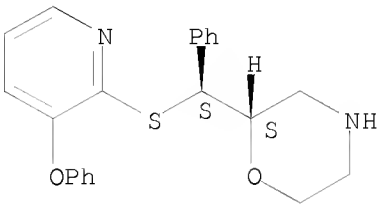
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-50-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

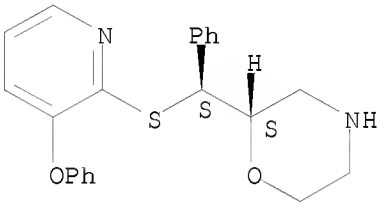


RN 847687-51-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-50-7
CMF C22 H22 N2 O2 S

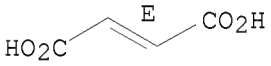
Absolute stereochemistry.



CM 2

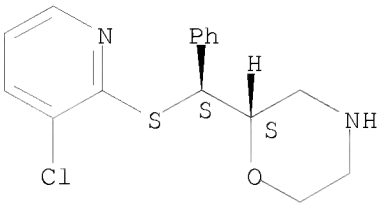
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-53-0 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

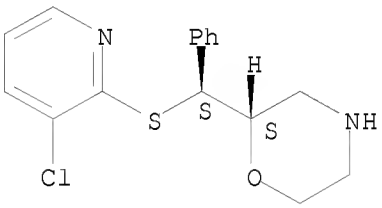


RN 847687-54-1 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-53-0
CMF C16 H17 Cl N2 O S

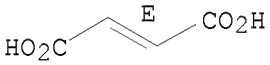
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

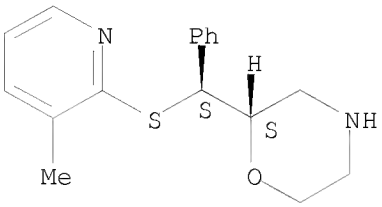


RN 847687-57-4 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-56-3
CMF C17 H20 N2 O S

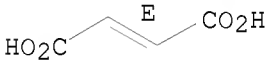
Absolute stereochemistry.



CM 2

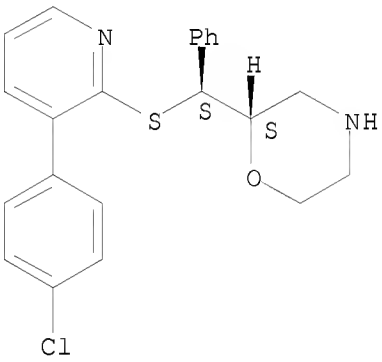
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-59-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

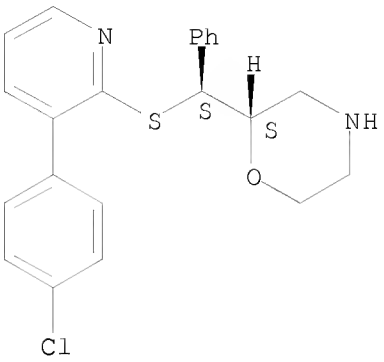


RN 847687-60-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-59-6
CMF C22 H21 Cl N2 O S

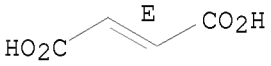
Absolute stereochemistry.



CM 2

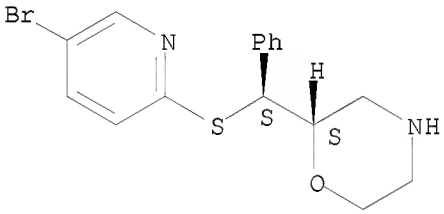
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-63-2 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

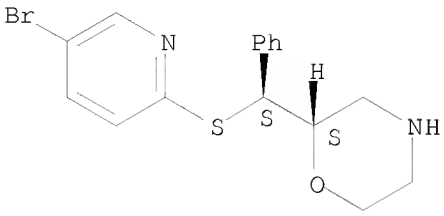


RN 847687-64-3 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-63-2
CMF C16 H17 Br N2 O S

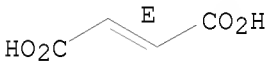
Absolute stereochemistry.



CM 2

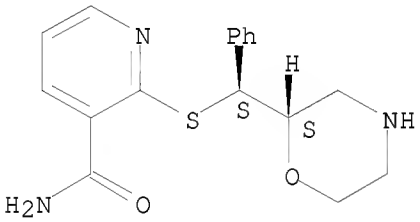
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-66-5 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

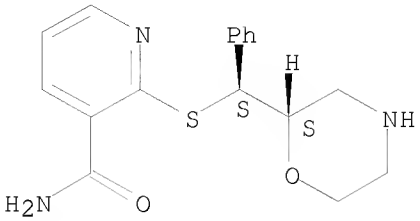


RN 847687-67-6 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-66-5
CMF C17 H19 N3 O2 S

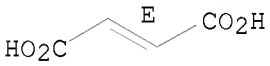
Absolute stereochemistry.



CM 2

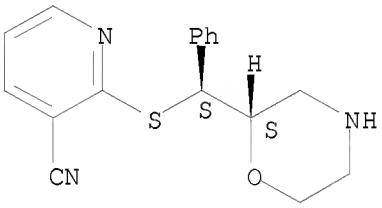
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-69-8 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

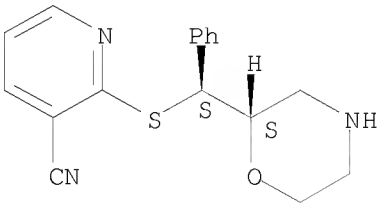


RN 847687-70-1 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-69-8
CMF C17 H17 N3 O S

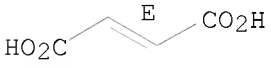
Absolute stereochemistry.



CM 2

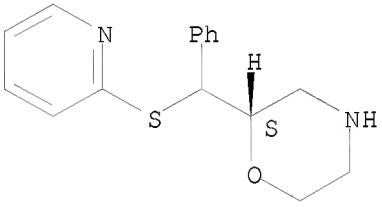
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-72-3 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

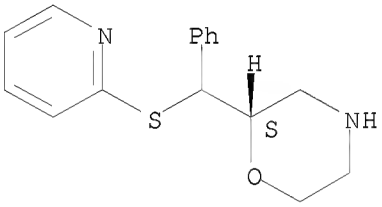
Absolute stereochemistry.



●x HCl

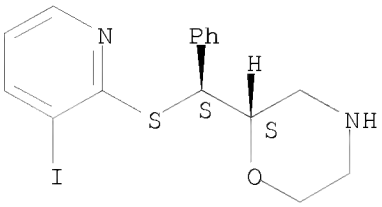
RN 847687-75-6 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-76-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

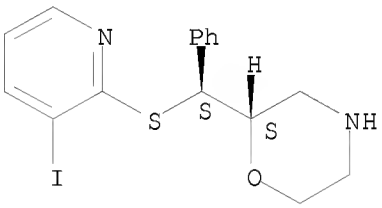


RN 847687-77-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-76-7
CMF C16 H17 I N2 O S

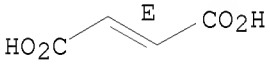
Absolute stereochemistry.



CM 2

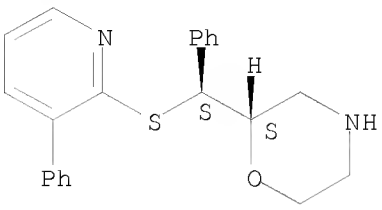
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



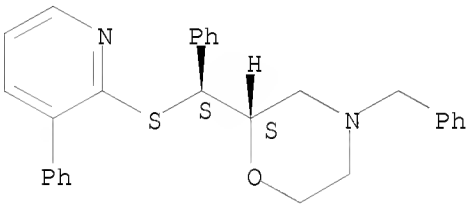
IT 847687-28-9P 847687-30-3P 847687-32-5P
847687-35-8P 847687-38-1P 847687-42-7P
847687-44-9P 847687-45-0P 847687-46-1P
847687-49-4P 847687-52-9P 847687-55-2P
847687-56-3P 847687-58-5P 847687-62-1P
847687-65-4P 847687-68-7P 847687-71-2P
847687-74-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)
RN 847687-28-9 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



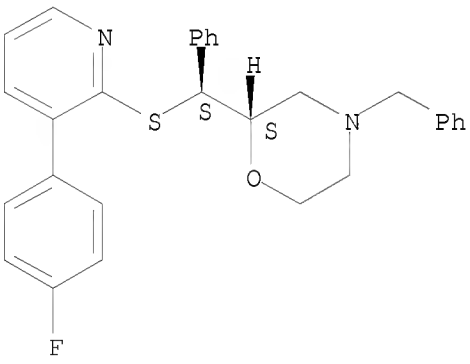
RN 847687-30-3 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



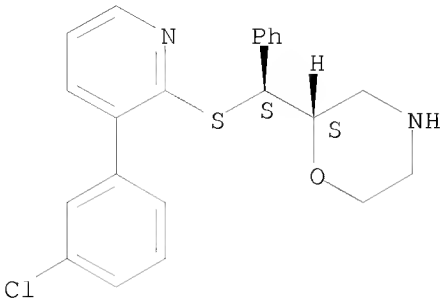
RN 847687-32-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



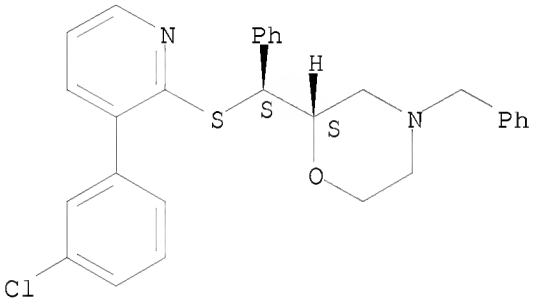
RN 847687-35-8 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



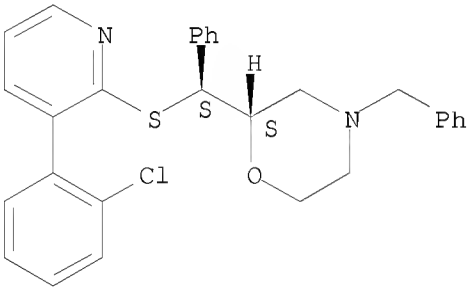
RN 847687-38-1 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



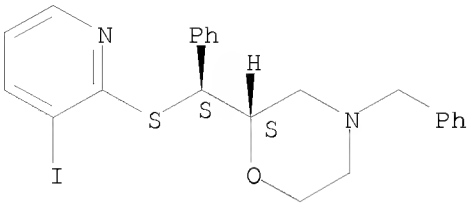
RN 847687-42-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



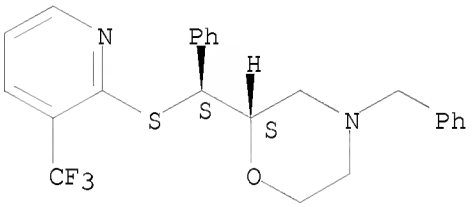
RN 847687-44-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



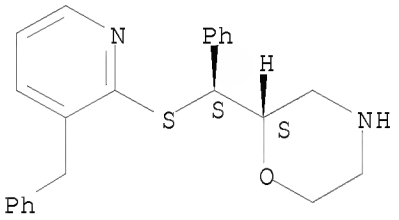
RN 847687-45-0 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



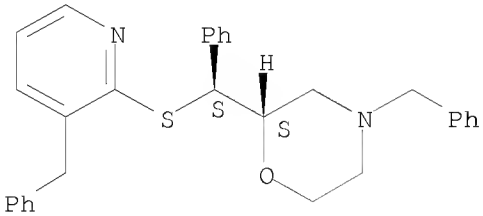
RN 847687-46-1 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-49-4 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

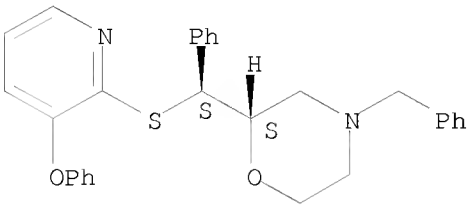
Absolute stereochemistry.



RN 847687-52-9 HCAPLUS

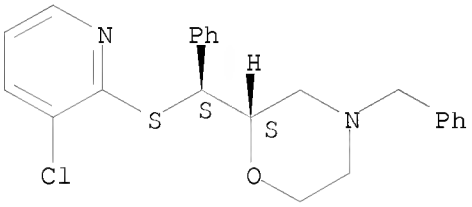
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



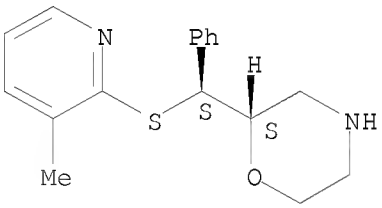
RN 847687-55-2 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



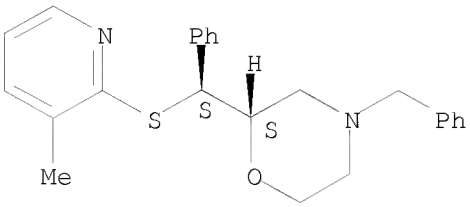
RN 847687-56-3 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



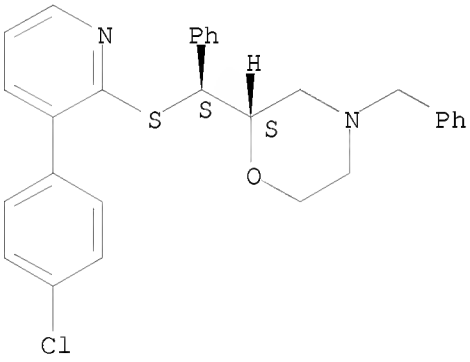
RN 847687-58-5 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



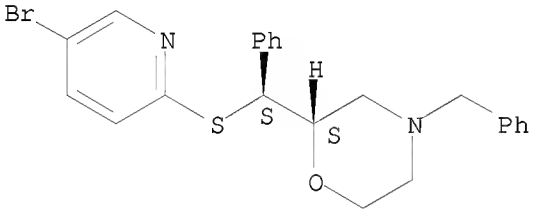
RN 847687-62-1 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



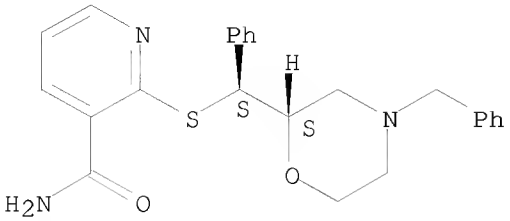
RN 847687-65-4 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



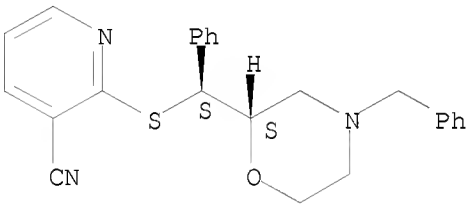
RN 847687-68-7 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



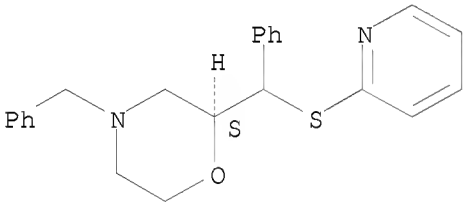
RN 847687-71-2 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-74-5 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[phenyl(2-pyridinylthio)methyl]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

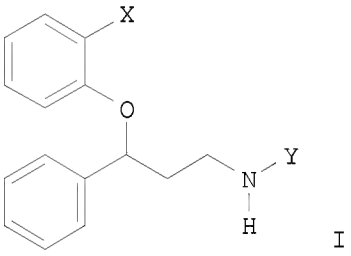


L4 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:216659 HCAPLUS
DOCUMENT NUMBER: 142:291414
TITLE: Treatment of learning disabilities and motor skills disorder with norepinephrine reuptake inhibitors
INVENTOR(S): Sumner, Calvin Russell
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 304 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005020975	A2	20050310	WO 2004-US25592	20040825
WO 2005020975	A3	20050602		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2530014	A1	20050310	CA 2004-2530014	20040825
EP 1660064	A2	20060531	EP 2004-780430	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2007105960	A1	20070510	US 2006-568244	20060214
PRIORITY APPLN. INFO.:			US 2003-498019P	P 20030827
			WO 2004-US25592	W 20040825

OTHER SOURCE(S): MARPAT 142:291414
GI



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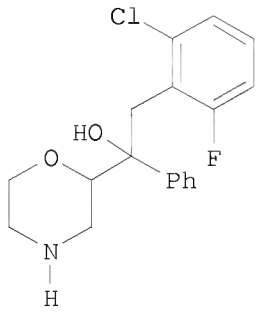


II

AB Provided are methods and medicaments for treating a learning disability or a motor skills disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic

reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 847687-29-0P 847687-33-6P 847687-34-7P
847687-36-9P 847687-39-2P 847687-40-5P
847687-43-8P 847687-47-2P 847687-50-7P
847687-51-8P 847687-53-0P 847687-54-1P
847687-57-4P 847687-59-6P 847687-60-9P
847687-63-2P 847687-64-3P 847687-66-5P
847687-67-6P 847687-69-8P 847687-70-1P
847687-72-3P 847687-75-6P 847687-76-7P
847687-77-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

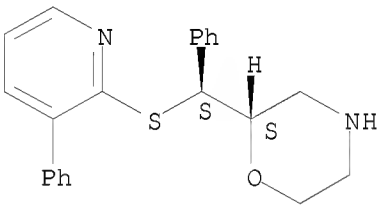
RN 847687-29-0 HCAPLUS

CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-28-9
CMF C22 H22 N2 O S

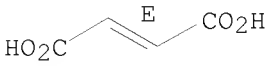
Absolute stereochemistry.



CM 2

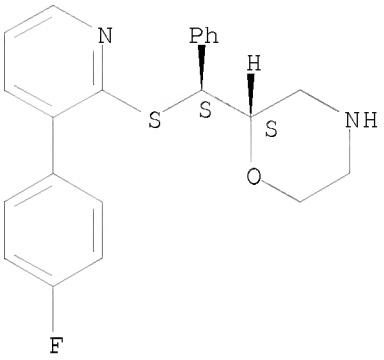
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-33-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

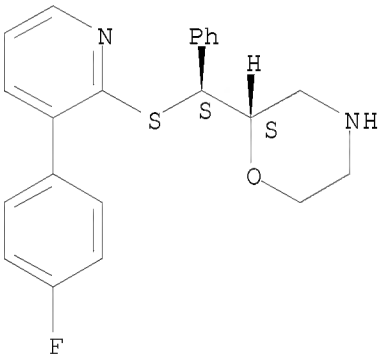


RN 847687-34-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-33-6
CMF C22 H21 F N2 O S

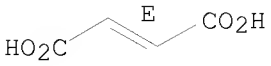
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

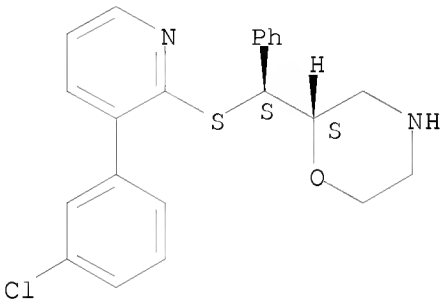


RN 847687-36-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-35-8
CMF C22 H21 Cl N2 O S

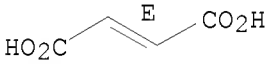
Absolute stereochemistry.



CM 2

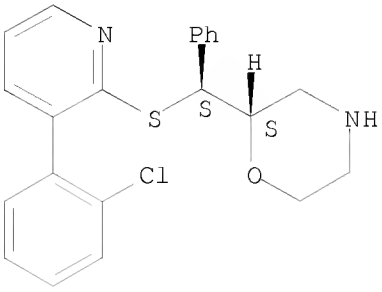
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-39-2 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

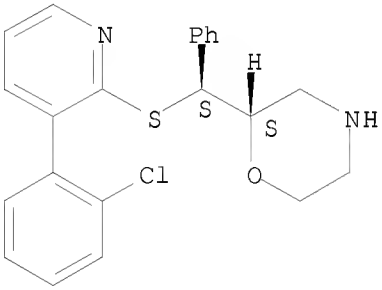


RN 847687-40-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-39-2
CMF C22 H21 Cl N2 O S

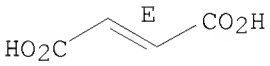
Absolute stereochemistry.



CM 2

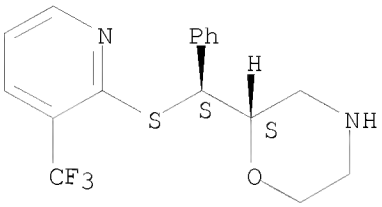
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-43-8 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

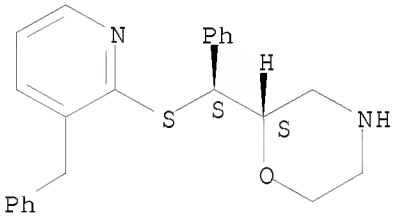


RN 847687-47-2 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-46-1
CMF C23 H24 N2 O S

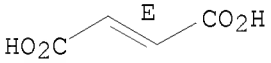
Absolute stereochemistry.



CM 2

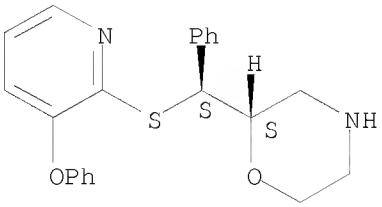
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-50-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

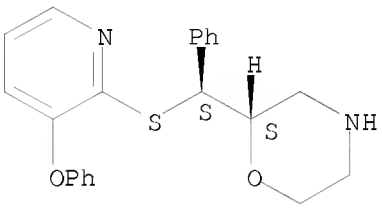


RN 847687-51-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-50-7
CMF C22 H22 N2 O2 S

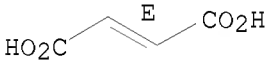
Absolute stereochemistry.



CM 2

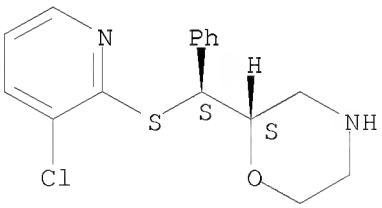
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-53-0 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

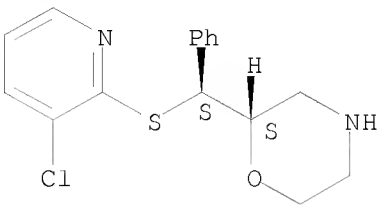


RN 847687-54-1 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-53-0
CMF C16 H17 Cl N2 O S

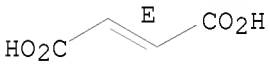
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

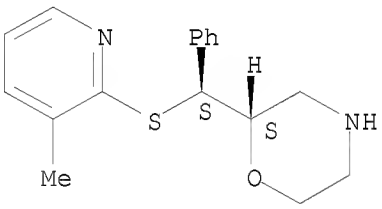


RN 847687-57-4 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-56-3
CMF C17 H20 N2 O S

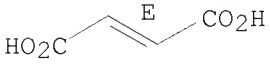
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

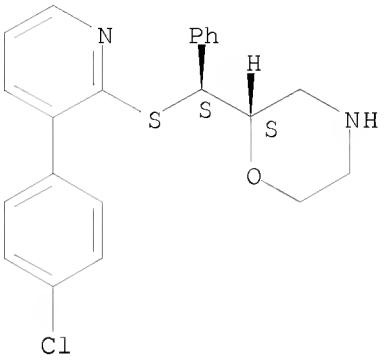
Double bond geometry as shown.



RN 847687-59-6 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-,

(2S)- (CA INDEX NAME)

Absolute stereochemistry.

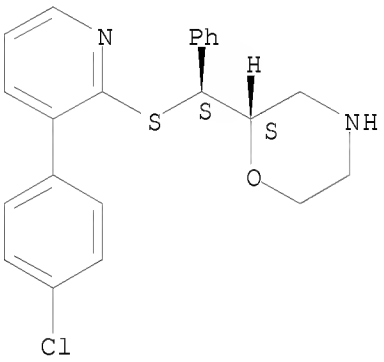


RN 847687-60-9 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-59-6
CMF C22 H21 Cl N2 O S

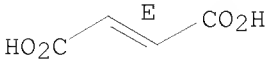
Absolute stereochemistry.



CM 2

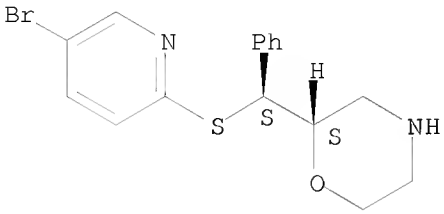
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-63-2 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

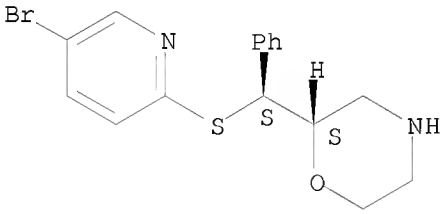


RN 847687-64-3 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-63-2
CMF C16 H17 Br N2 O S

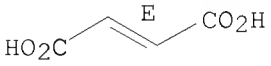
Absolute stereochemistry.



CM 2

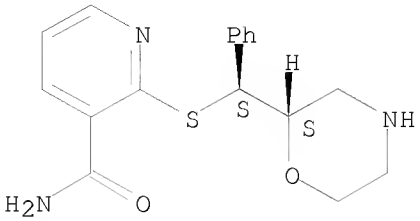
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-66-5 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

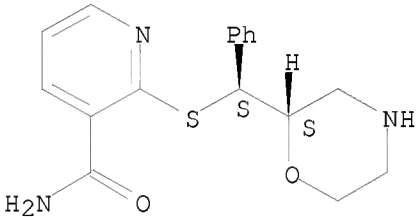


RN 847687-67-6 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-66-5
CMF C17 H19 N3 O2 S

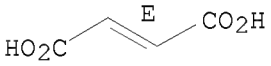
Absolute stereochemistry.



CM 2

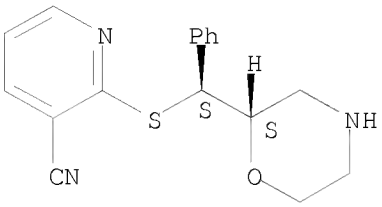
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-69-8 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

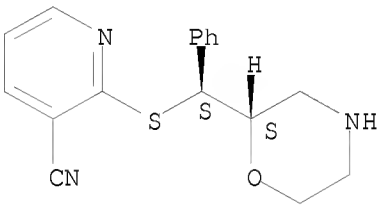


RN 847687-70-1 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-(2S)-2-morpholinylphenylmethyl]thio]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 847687-69-8
CMF C17 H17 N3 O S

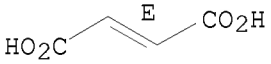
Absolute stereochemistry.



CM 2

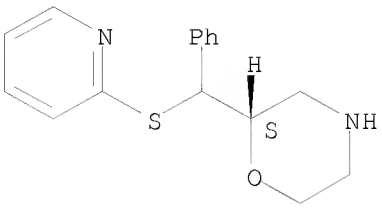
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 847687-72-3 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

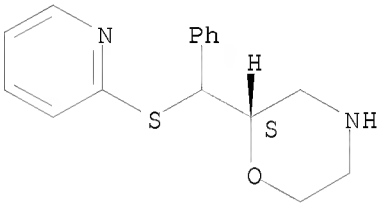
Absolute stereochemistry.



● x HCl

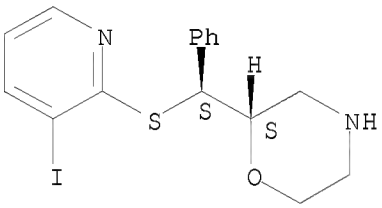
RN 847687-75-6 HCAPLUS
CN Morpholine, 2-[phenyl(2-pyridinylthio)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-76-7 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

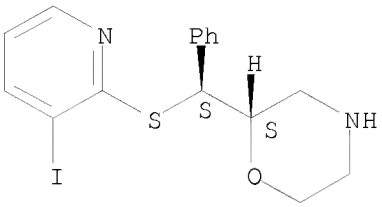


RN 847687-77-8 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 847687-76-7
CMF C16 H17 I N2 O S

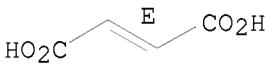
Absolute stereochemistry.



CM 2

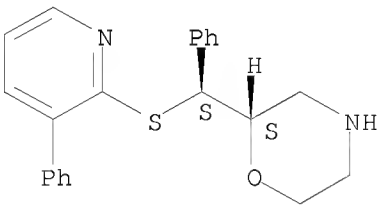
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



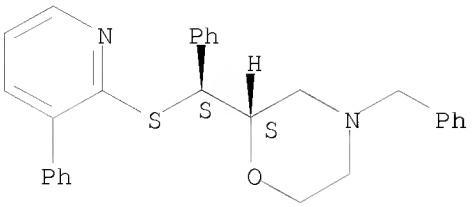
IT 847687-28-9P 847687-30-3P 847687-32-5P
847687-35-8P 847687-38-1P 847687-42-7P
847687-44-9P 847687-45-0P 847687-46-1P
847687-49-4P 847687-52-9P 847687-55-2P
847687-56-3P 847687-58-5P 847687-62-1P
847687-65-4P 847687-68-7P 847687-71-2P
847687-74-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)
RN 847687-28-9 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



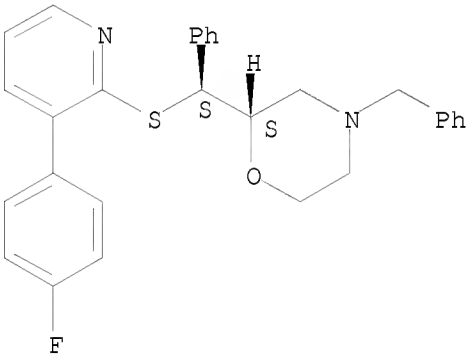
RN 847687-30-3 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[(3-phenyl-2-pyridinyl)thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



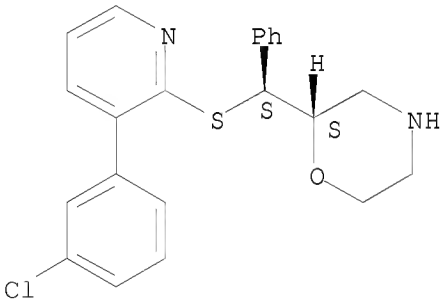
RN 847687-32-5 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-fluorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



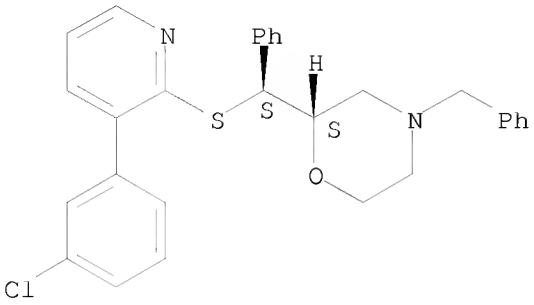
RN 847687-35-8 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



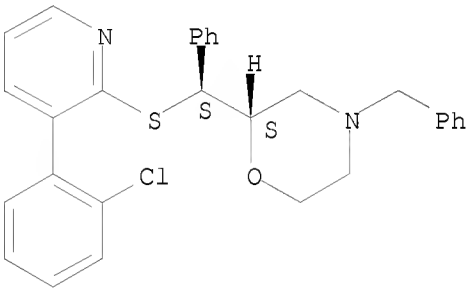
RN 847687-38-1 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(3-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



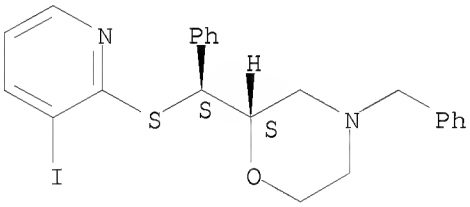
RN 847687-42-7 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(2-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



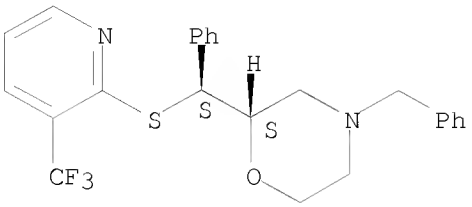
RN 847687-44-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-iodo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



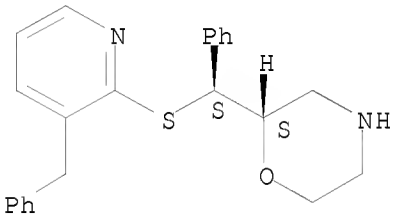
RN 847687-45-0 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(trifluoromethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



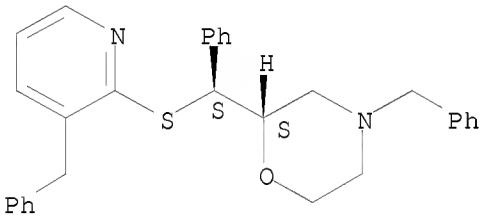
RN 847687-46-1 HCAPLUS
CN Morpholine, 2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



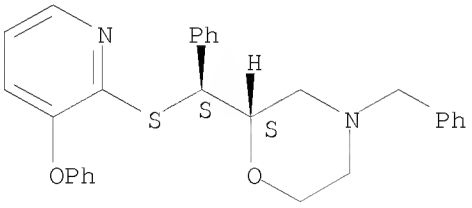
RN 847687-49-4 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[(S)-phenyl[[3-(phenylmethyl)-2-pyridinyl]thio]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



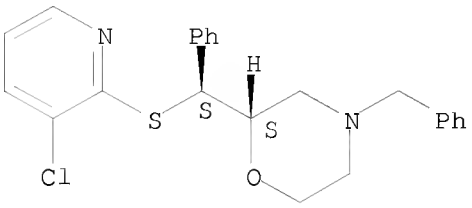
RN 847687-52-9 HCAPLUS
CN Morpholine, 2-[(S)-[(3-phenoxy-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



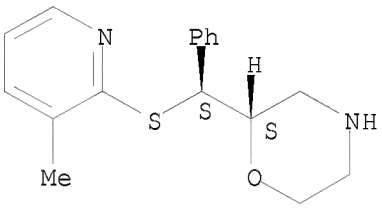
RN 847687-55-2 HCAPLUS
CN Morpholine, 2-[(S)-[(3-chloro-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



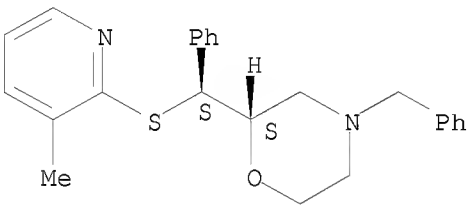
RN 847687-56-3 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



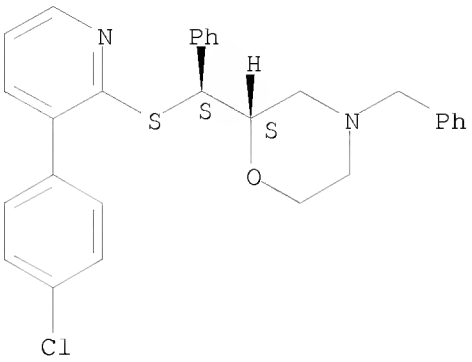
RN 847687-58-5 HCAPLUS
CN Morpholine, 2-[(S)-[(3-methyl-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



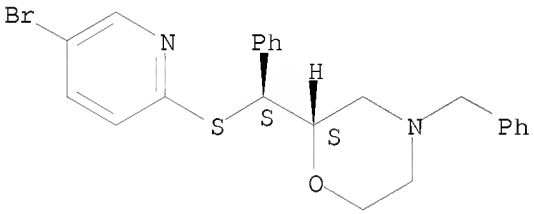
RN 847687-62-1 HCAPLUS
CN Morpholine, 2-[(S)-[[3-(4-chlorophenyl)-2-pyridinyl]thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



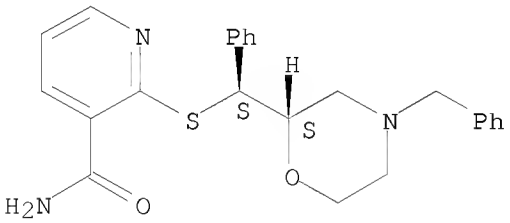
RN 847687-65-4 HCAPLUS
CN Morpholine, 2-[(S)-[(5-bromo-2-pyridinyl)thio]phenylmethyl]-4-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



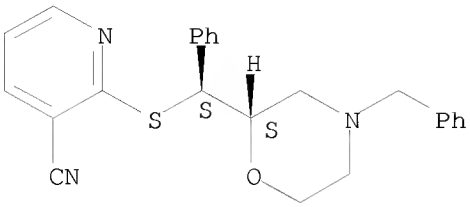
RN 847687-68-7 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



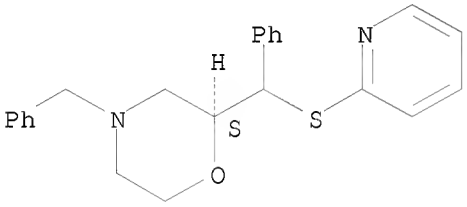
RN 847687-71-2 HCAPLUS
CN 3-Pyridinecarbonitrile, 2-[[(S)-phenyl[(2S)-4-(phenylmethyl)-2-morpholinyl]methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847687-74-5 HCAPLUS
CN Morpholine, 4-(phenylmethyl)-2-[phenyl(2-pyridinylthio)methyl]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry.



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L9 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1176480 HCAPLUS
DOCUMENT NUMBER: 143:440426
TITLE: Substituted morpholine compounds for the treatment of central nervous system disorders, their preparation and pharmaceutical compositions
INVENTOR(S): Barta, Nancy S.; Glase, Shelly Ann; Gray, David L.; Reichard, Gregory A.; Simons, Lloyd J.; Xu, Weijan
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: U.S. Pat. Appl. Publ., 85 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005245519	A1	20051103	US 2005-119210	20050429
AU 2005238296	A1	20051110	AU 2005-238296	20050419
CA 2564994	A1	20051110	CA 2005-2564994	20050419
WO 2005105763	A1	20051110	WO 2005-IB1158	20050419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,

ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1745029	A1	20070124	EP 2005-733459		20050419
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CN 1950348	A	20070418	CN 2005-80013776		20050419
BR 2005010453	A	20071030	BR 2005-10453		20050419
JP 2007535530	T	20071206	JP 2007-510153		20050419
NL 1028924	A1	20051101	NL 2005-1028924		20050429
NL 1028924	C2	20060427			
IN 2006DN05782	A	20070803	IN 2006-DN5782		20061005
MX 2006PA12505	A	20061215	MX 2006-PA12505		20061027
KR 2007006881	A	20070111	KR 2006-722767		20061030
NO 2006005456	A	20070104	NO 2006-5456		20061127
PRIORITY APPLN. INFO.:			US 2004-567244P	P	20040430
			WO 2005-IB1158	W	20050419

OTHER SOURCE(S): MARPAT 143:440426
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. of the formula I, which can be used in the treatment of central nervous system disorders. In compds. I, A is O or S; X is C1-10 alkyl, C2-8 alkenyl, aryl, heterocyclyl, C1-6 alkoxy, etc., with each group optionally substituted; and R1 - R5 are independently selected from H, OH, halo, C1-6 alkyl, aryl, C3-8 cycloalkyl, C2-6 alkenyl, C1-6 alkoxy, aryloxy, heterocyclyl, etc.; including pharmaceutically acceptable salts, enantiomers and diastereomers. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns. in the treatment of central nervous system disorders. Ring opening of (R,R)-phenylglycidol with 1-naphthol followed by silylation of the primary alc., mesylation of the secondary alc., and desilylation gave mesylate II, which underwent ring closure to the epoxide, ring opening with ammonium hydroxide and amidation with chloroacetyl chloride, resulting in the formation of amide III. Compound III was converted to the morpholine by intramol. cyclization and Red-Al reduction to give morpholine IV. Several compds., e.g., IV, express high inhibition of human norepinephrine transporter (hNET) and human serotonin transporter (hSERT).

L9 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:588645 HCAPLUS
DOCUMENT NUMBER: 143:115550
TITLE: Preparation of heterocyclic compounds as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to a general medical condition
INVENTOR(S): Allen, Albert John; Hemrick-Luecke, Susan; Sumner,

Calvin Russell; Wallace, Owen Brendan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060949	A2	20050707	WO 2004-US38221	20041201
WO 2005060949	A3	20050909		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1729754	A2	20061213	EP 2004-811076	20041201
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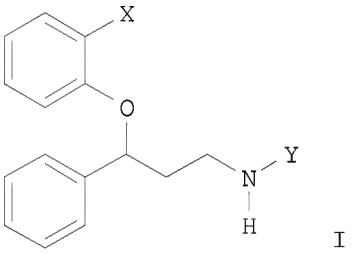
JP 2007513945	T	20070531	JP 2006-543830	20041201
US 2007015786	A1	20070118	US 2006-581015	20060530
PRIORITY APPLN. INFO.:			US 2003-529428P P	20031212
			WO 2004-US38221 W	20041201

OTHER SOURCE(S): MARPAT 143:115550
GI



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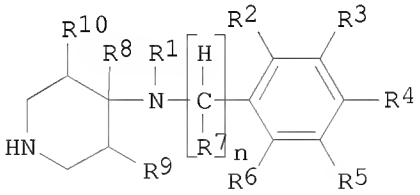


II

AB The invention relates to a method of preventing or treating hot flashes, vasomotor symptoms, impulse control disorders or personality change due to a general medical condition, comprising administering to a patient in need thereof a therapeutically effective amount of a selective norepinephrine reuptake inhibitor selected from atomoxetine, reboxetine, I [X = alkylthio; Y = alkyl], II [n = 1-3; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2-R4 = H, alkyl, alkoxy, etc.; R5-R6 = H, alkyl, alkoxy, halo; R7-R8 = H, alkyl; R9-R10 = H, halo, OH, CN, alkyl, alkoxy], etc. Over 200 title

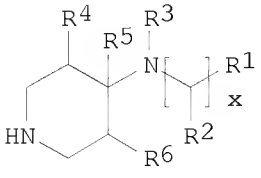
compds. such as I, II and other heterocyclic compds. disclosed, were prepared E.g., a 2-step synthesis of N-(2-methylpropyl)-N-[(2-fluorophenyl)methyl]piperidin-4-amine fumarate, starting from tert-Bu 4-(2-methylpropylamino)piperidine-1-carboxylate and 2-fluorobenzaldehyde, was given. The preferred exemplified title compds. exhibit a Ki value less than 1 μM, more preferably less than 500 nM at the norepinephrine transporter as determined using the scintillation proximity assay.

L9 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:523264 HCAPLUS
DOCUMENT NUMBER: 143:59831
TITLE: A preparation of aminopiperidine derivatives, useful for the treatment of cognitive failure
INVENTOR(S): Hatfield, Alan Kramer; Bymaster, Franklin Porter; McKinzie, David Lee; Tucker, Tina Marie; Keaffaber, Kirk Matthew; Sumner, Calvin Russell; Trzepacz, Paula Terese; Allen, Albert John; Kelsey, Douglas Kenneth; Michelson, David; Gehlert, Donald Richard; Yang, Charles Renkin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053663	A2	20050616	WO 2004-US37195	20041124
WO 2005053663	A3	20050811		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-524450P P 20031124
US 2003-524781P P 20031125
OTHER SOURCE(S): MARPAT 143:59831
GI



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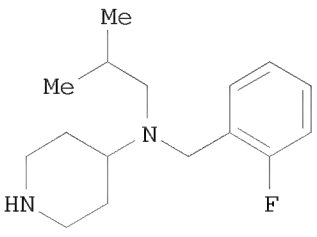

II

AB The invention relates to a preparation of aminopiperidine derivs. of formula I [wherein: x is 1-3; R1 is (un)substituted phenyl; R2 and R5 are independently H or alkyl; R3 is (cyclo)alkyl, alkenyl, or cycloalkylalkyl, etc.; R4 is H, halogen, or OH, etc.; R6 is H, halogen, CN, or alkyl, etc.], useful for the treatment of cognitive failure. Selective norepinephrine reuptake inhibitors were used to treat cognitive failure. For instance, fumarate salt of aminopiperidine derivative II was prepared via imination of 2-fluorobenzaldehyde by tert-Bu 4-[(2-methylpropyl)amino]piperidine-1-carboxylate, reduction of the obtained imine, and subsequent fumaric acid salt formation. The preferred invention compds. exhibit Ki values less than 500 nM at the norepinephrine transporter.

L9 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:238982 HCAPLUS
DOCUMENT NUMBER: 142:316847
TITLE: Preparation of homochiral pyridinylmorpholines as selective norepinephrine reuptake inhibitors
INVENTOR(S): Clark, Barry Peter; Gallagher, Peter Thaddeus
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005023802	A1	20050317	WO 2004-US22313		20040809
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1658287	A1	20060524	EP 2004-778025		20040809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
US 2006258654	A1	20061116	US 2006-567639		20060208
PRIORITY APPLN. INFO.:			GB 2003-19693	A	20030822
			US 2003-514748P	P	20031027
			WO 2004-US22313	W	20040809

OTHER SOURCE(S): CASREACT 142:316847; MARPAT 142:316847
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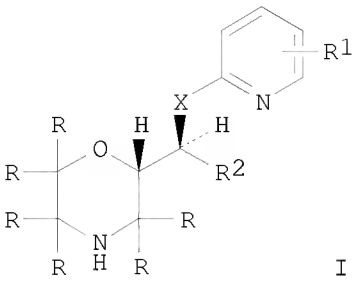

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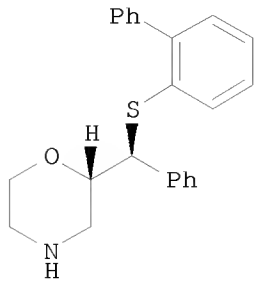


II

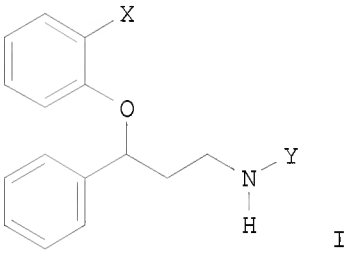
AB Title compds. I [X = S, O; R = H, alkyl; R1 = H, alkyl, alkoxy, halo, etc.; R2 = alkyl, Ph, etc.] are prepared For instance, (S)-(4-benzylmorpholin-2-yl)phenylmethanone (large scale preparation given) is selectively reduced to the (S,S) alc. and converted to the corresponding thiol in 3 addnl. steps. The thiol is reacted with 2-fluoro-3-phenylpyridine and debenzylated to give II. All example compds. exhibit a Ki < 500 nM at the norepinephrine transporter and all examples of I inhibit selectively the norepinephrine transporter relative to serotonin and dopamine by at least a factor of 5. I are useful for the treatment of, e.g., an addictive disorder, withdrawal syndrome, etc.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:216719 HCAPLUS
DOCUMENT NUMBER: 142:291416
TITLE: Treatment of stuttering and other communication disorders with norepinephrine reuptake inhibitors
INVENTOR(S): Kelsey, Douglas Kenneth
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 299 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021095	A2	20050310	WO 2004-US25591	20040825
WO 2005021095	A3	20050609		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2532349	A1	20050310	CA 2004-2532349	20040825

EP 1660185 A2 20060531 EP 2004-780429 20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
US 2007032554 A1 20070208 US 2006-568269 20060214
PRIORITY APPLN. INFO.: US 2003-498018P P 20030827
WO 2004-US25591 W 20040825
OTHER SOURCE(S): MARPAT 142:291416
GI



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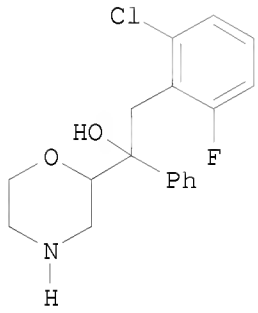

II

AB Provided are methods and medicaments for treating stuttering or another communication disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

L9 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:216660 HCAPLUS

DOCUMENT NUMBER: 142:291415
TITLE: Treatment of pervasive development disorders employing norepinephrine reuptake inhibitors
INVENTOR(S): Allen, Albert John; Kelsey, Douglas Kenneth
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020976	A2	20050310	WO 2004-US25593	20040825
WO 2005020976	A3	20050616		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536161	A1	20050310	CA 2004-2536161	20040825
EP 1660065	A2	20060531	EP 2004-780431	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006241188	A1	20061026	US 2006-568466	20060214
PRIORITY APPLN. INFO.:			US 2003-498146P	P 20030827
			WO 2004-US25593	W 20040825

OTHER SOURCE(S): CASREACT 142:291415; MARPAT 142:291415
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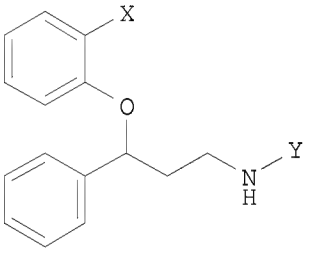

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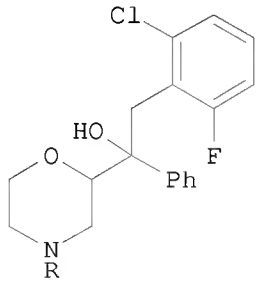

II

AB Provided are methods and medicaments for treating a pervasive development disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their

pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl (R = H) was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone by 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation of the obtained alc. I (R = Bn). The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

L9 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:216659 HCAPLUS
DOCUMENT NUMBER: 142:291414
TITLE: Treatment of learning disabilities and motor skills disorder with norepinephrine reuptake inhibitors
INVENTOR(S): Sumner, Calvin Russell
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 304 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005020975	A2	20050310	WO 2004-US25592		20040825
WO 2005020975	A3	20050602			
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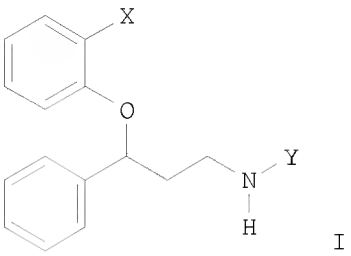
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2530014	A1	20050310	CA 2004-2530014		20040825
EP 1660064	A2	20060531	EP 2004-780430		20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
US 2007105960	A1	20070510	US 2006-568244		20060214
PRIORITY APPLN. INFO.:			US 2003-498019P	P	20030827
			WO 2004-US25592	W	20040825

OTHER SOURCE(S): MARPAT 142:291414
GI



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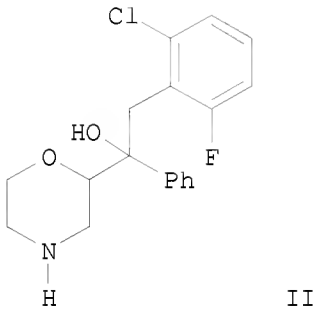

II

AB Provided are methods and medicaments for treating a learning disability or a motor skills disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	80.04	448.19

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.20	-11.20

STN INTERNATIONAL LOGOFF AT 12:04:41 ON 28 JAN 2008